10551569

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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 4	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 5	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 6	FEB 10	COMPENDEX reloaded and enhanced
NEWS 7	FEB 11	WTEXTILES reloaded and enhanced
NEWS 8	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 9	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 10	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 11	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 12	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 13	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 14	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 15	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 16	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 17	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 18	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS 19	MAR 23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS 20	MAR 30	IMSPATENTS reloaded and enhanced
NEWS 21	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS 22	APR 07	STN is raising the limits on saved answers
NEWS 23	APR 24	CA/CAplus now has more comprehensive patent assignee information
NEWS 24	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS 25	APR 28	CAS patent authority coverage expanded

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 NEWS 26  APR 28  ENCOMPLIT/ENCOMPLIT2 search fields enhanced
 NEWS 27  APR 28  Limits doubled for structure searching in CAS
                  REGISTRY

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 10:23:33 ON 30 APR 2009

=> filr eg
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=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.22       0.22

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STRUCTURE FILE UPDATES:   28 APR 2009  HIGHEST RN 1140589-60-1
DICTIONARY FILE UPDATES:  28 APR 2009  HIGHEST RN 1140589-60-1

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10551569

http://www.cas.org/support/stngen/stndoc/properties.html

=>
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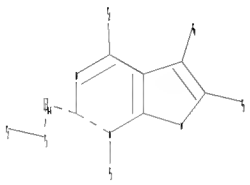
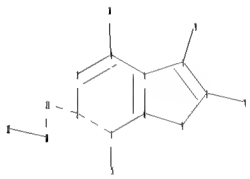




chain nodes :
10 12 14 16 18 19 21
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-16 2-21 4-18 7-19 8-14 10-12 10-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 1-16 2-3 2-21 3-4 4-5 4-18 5-6 5-7 6-9 7-8 7-19 8-9 8-14
10-12 10-21

G1:O,S,N

G2:Cy,Ak,H,X,O

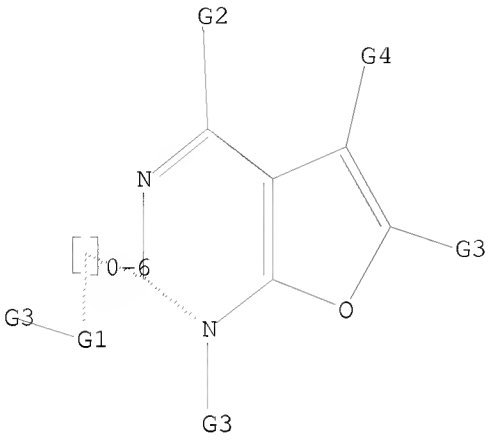
G3:Cy,Ak,H

G4:X,Cy,Ak,H,O,S,N,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
12:CLASS 14:CLASS 16:CLASS 18:CLASS 19:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

G2 Cy,Ak,H,X,O

G3 Cy,Ak,H

G4 X,Cy,Ak,H,O,S,N,CN

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sam
SAMPLE SEARCH INITIATED 10:24:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1620 TO ITERATE

100.0% PROCESSED     1620 ITERATIONS                              24 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        29986 TO    34814
PROJECTED ANSWERS:             187 TO      773

L2           24 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 10:24:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    32626 TO ITERATE

100.0% PROCESSED    32626 ITERATIONS                             686 ANSWERS
SEARCH TIME: 00.00.01

L3          686 SEA SSS FUL L1

=> fil capl
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               185.88     186.10

FILE 'CAPLUS' ENTERED AT 10:24:45 ON 30 APR 2009
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FILE COVERS 1907 - 30 Apr 2009 VOL 150 ISS 18
FILE LAST UPDATED: 29 Apr 2009 (20090429/ED)

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CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 55 L3

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.50	186.60

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LAST RELOADED: Apr 24, 2009 (20090424/UP).

=> s l4 not (2009/so or 2008/so or 2007/so or 2006/so or 2005/so)
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

=> fil capl

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.07	186.67

FILE 'CAPLUS' ENTERED AT 10:26:25 ON 30 APR 2009

FILE COVERS 1907 - 30 Apr 2009 VOL 150 ISS 18
FILE LAST UPDATED: 29 Apr 2009 (20090429/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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        929127 2008/SO
        993809 2007/SO
        949806 2006/SO
        885676 2005/SO
L5          42 L4 NOT (2009/SO OR 2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

=> d l5 ibib hitstr abs 1-42
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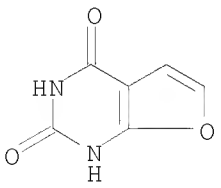
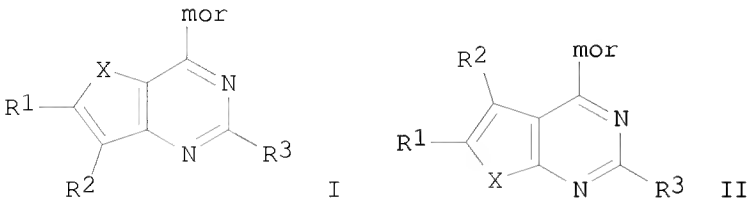
L5 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:735944 CAPLUS
DOCUMENT NUMBER: 149:79634
TITLE: Thienopyrimidine and furopyrimidine derivatives as phosphoinositide 3-kinase inhibitor and their preparation, pharmaceutical compositions and use in the treatment of cancer
INVENTOR(S): Castanedo, Georgette; Dotson, Jennafer; Goldsmith, Richard; Gunzner, Janet; Heffron, Tim; Mathieu, Simon; Olivero, Alan; Staben, Steven; Sutherlin, Daniel P.; Tsui, Vickie; Wang, Shumei; Zhu, Bing-Yan; Bayliss, Tracy; Chuckowree, Irina; Folkes, Adrian; Wan, Nan Chi
PATENT ASSIGNEE(S): Genentech, Inc., USA; Piramed Limited
SOURCE: PCT Int. Appl., 342pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

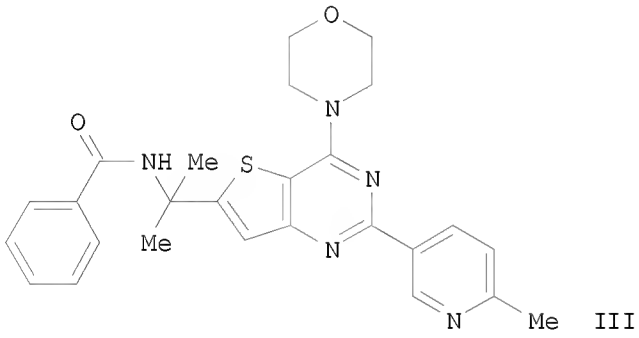
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073785	A2	20080619	WO 2007-US86533	20071205
WO 2008073785	A3	20080828		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080269210	A1	20081030	US 2007-951189	20071205
PRIORITY APPLN. INFO.:			US 2006-873422P P	20061207

OTHER SOURCE(S): MARPAT 149:79634
IT 612066-45-2, Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of thienopyrimidine and furopyrimidine derivs. as phosphoinositide 3 kinase inhibitors useful in the treatment of cancer)
RN 612066-45-2 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione (CA INDEX NAME)



GI





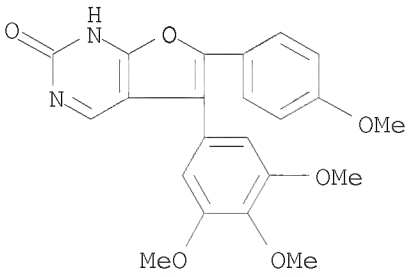
AB Compds. of formulas I and II, including stereoisomers, geometric isomers, tautomers, solvates, metabolites and pharmaceutically acceptable salts thereof, are useful for modulating the activity of lipid kinases including PI3K, and for treating disorders such as cancer mediated by lipid kinases. Methods of using compds. of formula I and II for in vitro, in situ, and in vivo diagnosis, prevention or treatment of such disorders in mammalian cells, or associated pathol. conditions, are disclosed. Compds. of formula I and II wherein X is O and S; R1 is H, F, Cl, Br, I, C-(C1-6 alkyl)2-NH2 and derivs., etc.; R2 is H, F, CL, Br, I, C6-20 aryl, C1-20 heteroaryl, C1-6 alkyl, C2-8 alkenyl, and C2-8 alkynyl; R3 is (un)substituted monocyclic heteroaryl; mor is morpholine; and their stereoisomers, geometric isomers, tautomers, metabolites and pharmaceutically acceptable salts thereof, are claimed. Example compound III was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their PI3K inhibitory activity.

L5 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:733417 CAPLUS
DOCUMENT NUMBER: 149:79628
TITLE: Preparation of heterocyclic compounds for use in anticancer pharmaceutical compositions which inhibit tubulin polymerization
INVENTOR(S): Flynn, Bernard Luke; Chaplin, Jason Hugh; Paul, Dharam; Grobelny, Damian Wojciech; Kelly, Brian
PATENT ASSIGNEE(S): Bionomics Limited, Australia
SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008070908	A1	20080619	WO 2007-AU1908	20071211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-874125P P 20061211
OTHER SOURCE(S): MARPAT 149:79628
IT 1033609-72-1P, 6-(4-Methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)furo[2,3-d]pyrimidin-2(1H)-one 1033609-76-5P 1033609-78-7P 1033609-81-2P 1033609-87-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds. for use in anticancer pharmaceutical compns. which inhibit tubulin polymerization and cancer cell proliferation)
RN 1033609-72-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(4-methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



RN 1033609-76-5 CAPLUS
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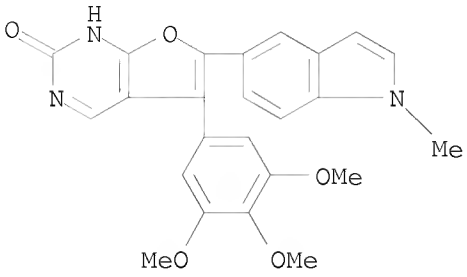
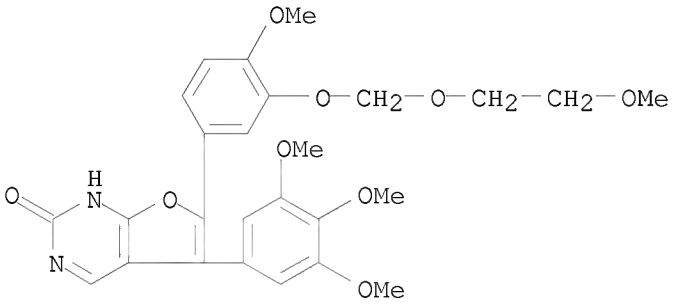
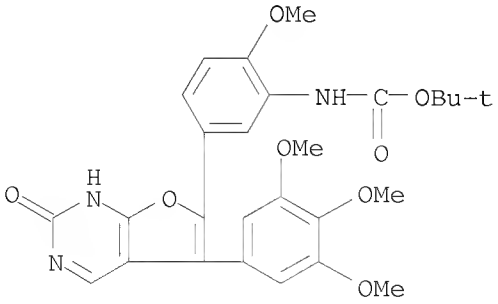


RN 1033609-78-7 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-[4-methoxy-3-[(2-methoxyethoxy)methoxy]phenyl]-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

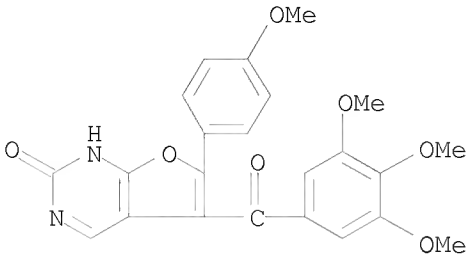


RN 1033609-81-2 CAPLUS
CN Carbamic acid, N-[5-[1,2-dihydro-2-oxo-5-(3,4,5-trimethoxyphenyl)furo[2,3-d]pyrimidin-6-yl]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1033609-87-8 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(4-methoxyphenyl)-5-(3,4,5-

trimethoxybenzoyl)- (CA INDEX NAME)



IT 1033609-74-3P 1033609-75-4P 1033609-77-6P
1033609-79-8P 1033609-80-1P 1033609-82-3P
1033609-86-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. for use in anticancer pharmaceutical compns. which inhibit tubulin polymerization and cancer cell proliferation)

RN 1033609-74-3 CAPLUS
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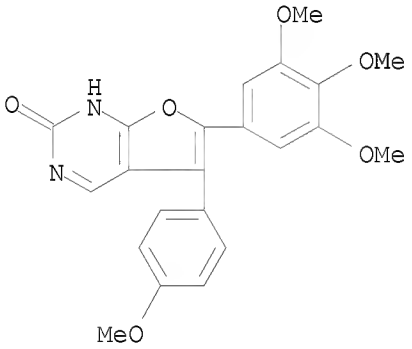
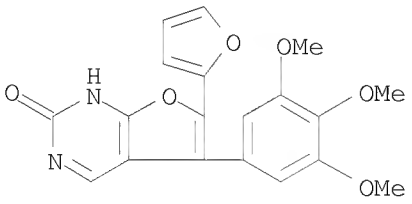


RN 1033609-75-4 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(2-furanyl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



RN 1033609-77-6 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(6-methoxy-3-pyridinyl)-5-(3,4,5-

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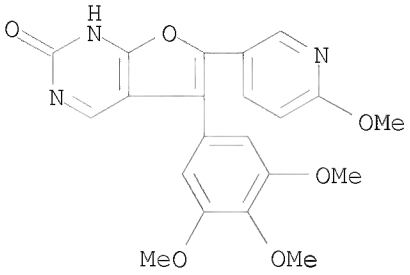

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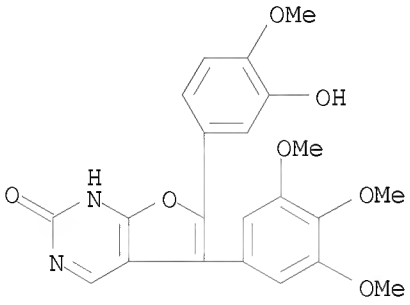
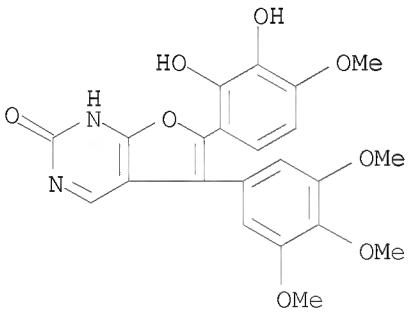
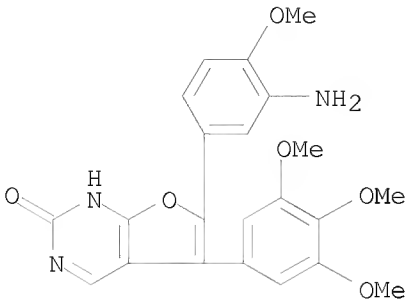


RN 1033609-80-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(2,3-dihydroxy-4-methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

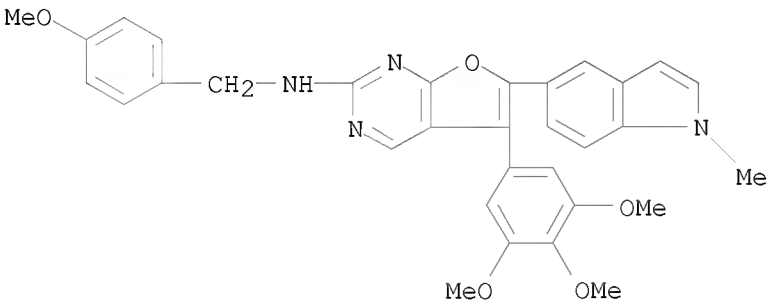


RN 1033609-82-3 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(3-amino-4-methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 1033609-86-7 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, N-[(4-methoxyphenyl)methyl]-6-(1-methyl-1H-indol-5-yl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



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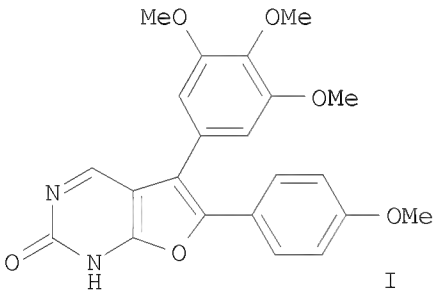

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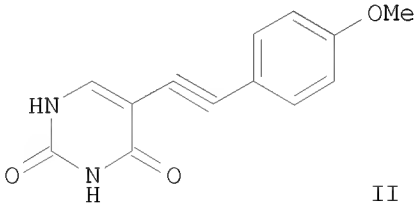


II

AB Heterocyclic compds., such as 6-(4-methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)furo[2,3-d]pyrimidin-2(1H)-one (I), were prepared for therapeutic use as anticancer agents. Thus, heterocycle I was prepared via a coupling reaction with 83% yield of 5-iodouracil with HC.tplbond.CC6H4-4-OMe in EtOAc followed by a cyclization reaction of the

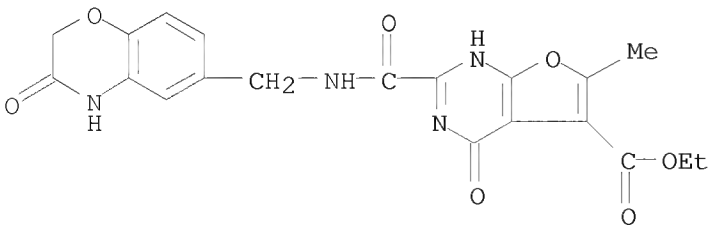
resulting coupled intermediate II with 5-iodo-1,2,3-trimethoxybenzene using Pd(PPh3)4 in DMSO to give the desired heterocycle with 83% yield for the cyclization step. The prepared heterocycles were tested for inhibition of tubulin polymerization and for inhibition of proliferation of activated HUVEC cells.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

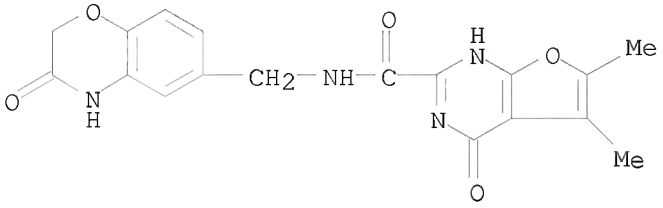
L5 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:639952 CAPLUS
DOCUMENT NUMBER: 149:10034
TITLE: Preparation of heterobicyclic metalloprotease inhibitors
INVENTOR(S): Gege, Christian; Schneider, Matthias; Chevrier, Carine; Deng, Hongbo; Sucholeiki, Irving; Gallagher, Brian M., Jr.; Bosies, Michael; Steeneck, Christoph; Wu, Xinyuan; Hochguertel, Matthias; Nolte, Bert; Taveras, Arthur
PATENT ASSIGNEE(S): Alantos Pharmaceuticals Holding, Inc., USA
SOURCE: PCT Int. Appl., 190pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008063668	A1	20080529	WO 2007-US24363	20071120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080207607	A1	20080828	US 2007-986603	20071120
US 20080261968	A1	20081023	US 2007-986626	20071120
PRIORITY APPLN. INFO.:			US 2006-860195P P	20061120

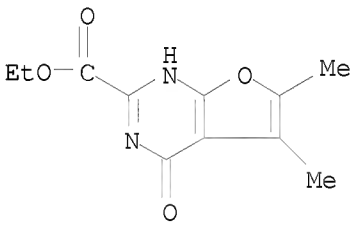
OTHER SOURCE(S): MARPAT 149:10034
IT 1029419-49-5P 1029419-53-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterobicyclic metalloprotease inhibitors)
RN 1029419-49-5 CAPLUS
CN Furo[2,3-d]pyrimidine-5-carboxylic acid, 2-[[[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]amino]carbonyl]-3,4-dihydro-6-methyl-4-oxo-, ethyl ester (CA INDEX NAME)



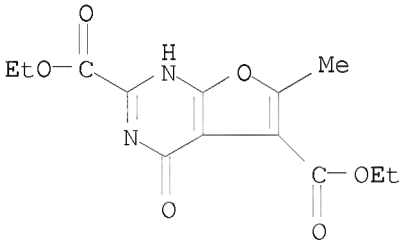
RN 1029419-53-1 CAPLUS
CN Furo[2,3-d]pyrimidine-2-carboxamide, N-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]-3,4-dihydro-5,6-dimethyl-4-oxo- (CA INDEX NAME)



IT 733784-60-6P 1029420-27-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterobicyclic metalloprotease inhibitors)
RN 733784-60-6 CAPLUS
CN Furo[2,3-d]pyrimidine-2-carboxylic acid, 3,4-dihydro-5,6-dimethyl-4-oxo-, ethyl ester (CA INDEX NAME)



RN 1029420-27-6 CAPLUS
CN Furo[2,3-d]pyrimidine-2,5-dicarboxylic acid, 3,4-dihydro-6-methyl-4-oxo-, 2,5-diethyl ester (CA INDEX NAME)



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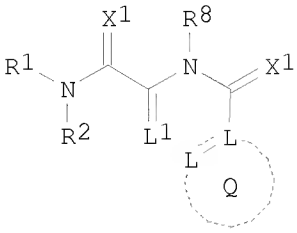

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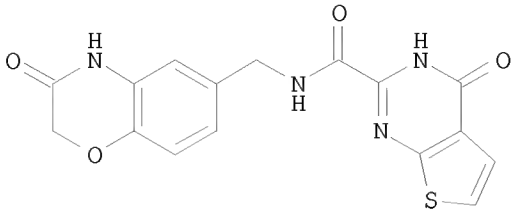


II

AB The present invention relates generally to azabicyclic containing pharmaceutical agents, and in particular, to azabicyclic metalloprotease inhibiting compds. More particularly, the present invention provides a new class of azabicyclic MMP-3, MMP-8 and/or MMP-13 inhibiting compds. I [R1 = (hetero)cycloalkyl fused aryl, (hetero)cycloalkyl fused heteroaryl, (hetero)cycloalkyl fused arylalkyl, (hetero)cycloalkyl fused heteroarylalkyl; R2 = H, alkyl; or NR1R2 = 3-8 membered ring containing C atoms and optionally a heteroatom selected from O, S(O)x or NR50; R8 = H, alkyl, cycloalkyl, etc.; R9 = H, alkyl, cycloalkyl, etc.; R10 = H, alkyl, cycloalkyl, etc.; R50 = H, alkyl, aryl, etc.; X1 = O, S, NR10, etc.; L1 = CR9, N; L = C and N, with the proviso that both L are not N, and that the bond between L1 and L is optionally a double bond only if both L are C atoms; Q = (un)substituted 4-8 membered (hetero)cycloalkyl or 5-6 membered (hetero)aryl; x = 0-2], which exhibit an increased potency and selectivity in relation to currently known MMP-13, MMP-8 and MMP-3 inhibitors. Preparation of compds. I was described in many examples. E.g., a multi-step synthesis of II, starting from Me 2-aminothiophene-3-carboxylate and Et cyanoacetate, was described. Compds. I were tested against different metalloproteases (data given for representative compds. I). For example, II showed IC50 lower than 100 nM when tested against MMP-13. Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agents, are disclosed.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:385257 CAPLUS
DOCUMENT NUMBER: 146:401679
TITLE: Aniline derivatives as antiviral and anticancer agents, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Jorgensen, William L.; Ruiz-Caro, Juliana; Hamilton, Andrew D.
PATENT ASSIGNEE(S): Yale University, USA
SOURCE: PCT Int. Appl., 93pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

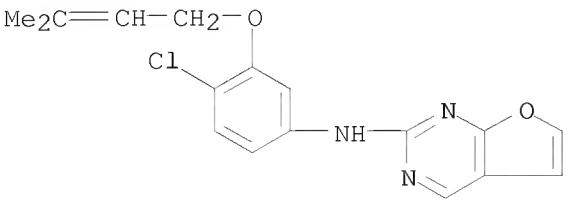
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007038387	A2	20070405	WO 2006-US37173	20060925
WO 2007038387	A3	20071011		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

US 2005-720307P	P	20050923
US 2005-730934P	P	20051027
US 2006-781486P	P	20060309
US 2006-836723P	P	20060810
US 2006-842901P	P	20060907

OTHER SOURCE(S): MARPAT 146:401679
IT 918340-49-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aniline derivs. useful in the treatment of viral infections and cancers)
RN 918340-49-5 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, N-[4-chloro-3-[(3-methyl-2-buten-1-yl)oxy]phenyl]- (CA INDEX NAME)



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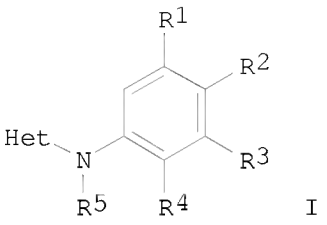

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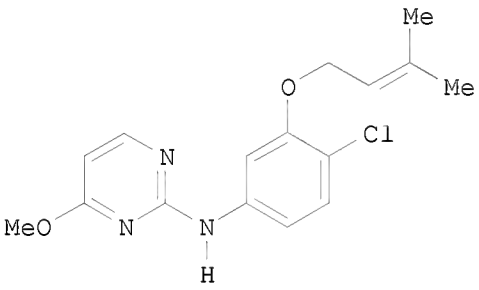


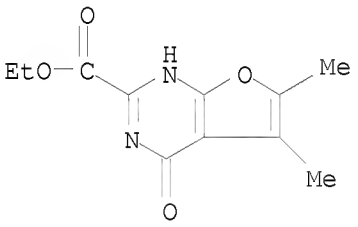
II

AB The invention relates to anilines of formula I, which may be used to treat viral infections and/or cancer. In compds. I, Het is (un)substituted heterocyclyl, which may be monocyclic or a fused ring system having two or three rings; R1 is OR6, (un)substituted saturated or unsatd. C4-12 carbocyclic group, or (un)substituted heterocyclyl, where R6 is (un)substituted C1-14 hydrocarbyl group or (un)substituted 5- to 14-membered heterocyclyl group; R2, R3, and R4 are independently selected from H, halo, cyano, nitro, OR7, (un)substituted C1-4 alkyl, C1-6 alkylthio, C1-6 thioester, (un)substituted CO2R7, (un)substituted C(O)R7, and (un)substituted OC(O)R7, where R7 is H or (un)substituted C1-6 alkyl; and R5 is H or optionally hydroxy-substituted C1-3 alkyl; including pharmaceutically acceptable salts, solvates, or polymorphs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising an effective amount of a compound I, optionally in combination with a pharmaceutically acceptable carrier, additive, or excipient, as well as to the use of the compns. for the treatment of viral infections and/or cancer. Diazotization of 2-amino-5-nitrophenol followed by chlorination and hydrogenation gave 5-amino-2-chlorophenol, which underwent substitution with 2-chloro-4-methoxypyrimidine and O-alkylation with dimethylallyl bromide to give aniline II. The compds. of the invention show antiviral and antitumor activity, e.g., compound II expressed EC50 of 10 nM and IC50 of 9.0 μM for anti-HIV activity.

L5 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:192756 CAPLUS
DOCUMENT NUMBER: 144:274288
TITLE: Preparation of pyrazolopyrimidine compounds as SK channel blockers
INVENTOR(S): Takamuro, Iwao; Sekine, Yasuo; Tsuboi, Yasunori; Noshiro, Hiroshi; Taniguchi, Hiroyuki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 298 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006056884	A	20060302	JP 2005-210978	20050721
PRIORITY APPLN. INFO.:			JP 2004-216519 A	20040723

OTHER SOURCE(S): MARPAT 144:274288
IT 733784-60-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidine compds. as SK channel blockers for treatment of irritable bowel disease, Alzheimer type-dementia, etc.)
RN 733784-60-6 CAPLUS
CN Furo[2,3-d]pyrimidine-2-carboxylic acid, 3,4-dihydro-5,6-dimethyl-4-oxo-, ethyl ester (CA INDEX NAME)



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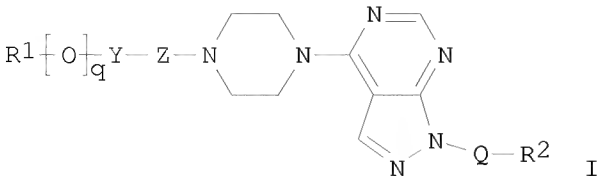
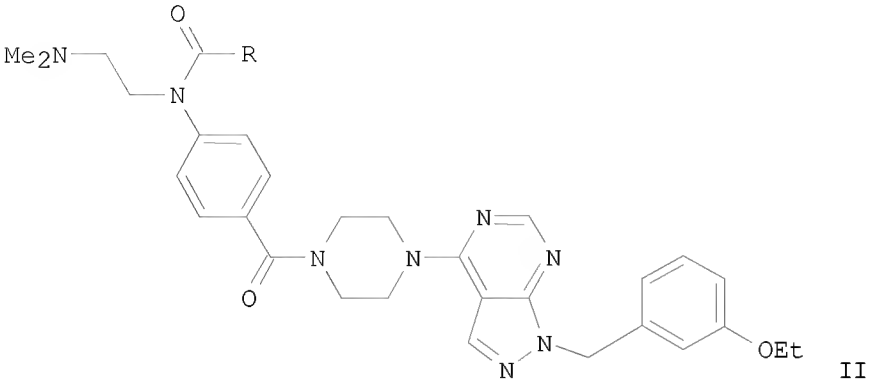


I



II

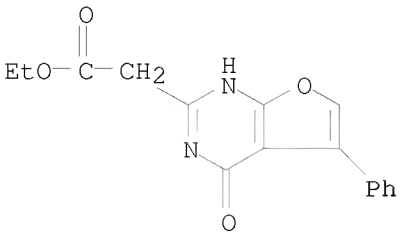
AB Title compds. I [R1 = substituted aryl, (un)substituted aliphatic heteromonocycle containing N, substituted cycloalkyl, etc.; R2 = (un)substituted heteroaryl, (un)substituted aryl; Y = single bond, alkylene, alkenylene; Z = -CO-, -CH2-, -SO2-, etc.; Q = alkylene; q = 0, 1] were prepared For example, hydrolysis of 4-[N-(cyclopropylcarbonyl)-N-[2-(dimethylamino)ethyl]amino]benzoic acid Et ester, e.g., prepared from 4-fluorobenzoic acid Et ester in 3 steps, followed by EDCI mediated amidation with 1-(3-ethoxybenzyl)-4-piperazin-1-yl-1H-pyrazolo[3,4-d]pyrimidine·2HCl afforded compound II [R = cyclopropyl]. In 125I-apamin binding inhibition assays, IC50 value of compound II [R = methyl] hydrochloride was 0.06 μM. Compds. I are claimed useful for the treatment of irritable bowel disease, Alzheimer type-dementia, etc.

L5 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1335122 CAPLUS
DOCUMENT NUMBER: 144:69849
TITLE: Preparation of furanopyrimidine derivatives effective as potassium channel inhibitors
INVENTOR(S): Ford, John; Palmer, Nicholas John; Atherall, John Frederick; Madge, David John
PATENT ASSIGNEE(S): Xention Discovery Limited, UK
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005121149	A1	20051222	WO 2005-GB2318	20050610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005252440	A1	20051222	AU 2005-252440	20050610
CA 2568304	A1	20051222	CA 2005-2568304	20050610
US 20050282829	A1	20051222	US 2005-148991	20050610
US 7456187	B2	20081125		
EP 1758909	A1	20070307	EP 2005-751879	20050610
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1964978	A	20070516	CN 2005-80018876	20050610
BR 2005011917	A	20080115	BR 2005-11917	20050610
JP 2008501773	T	20080124	JP 2007-526555	20050610
IN 2006DN07134	A	20070824	IN 2006-DN7134	20061127
MX 2006014256	A	20070312	MX 2006-14256	20061207
KR 2007055486	A	20070530	KR 2007-700590	20070109

PRIORITY APPLN. INFO.:	GB 2004-12986	A	20040610
	US 2004-578350P	P	20040610
	WO 2005-GB2318	W	20050610

OTHER SOURCE(S): CASREACT 144:69849; MARPAT 144:69849
IT 871815-00-8P, Ethyl 2-(4-oxo-5-phenyl-3,4-dihydrofuro[2,3-d]pyrimidin-2-yl)acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of furano[2,3-d]pyrimidine derivs. effective as potassium channel inhibitors)
RN 871815-00-8 CAPLUS
CN Furo[2,3-d]pyrimidine-2-acetic acid, 3,4-dihydro-4-oxo-5-phenyl-, ethyl ester (CA INDEX NAME)



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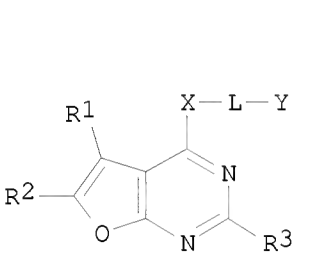

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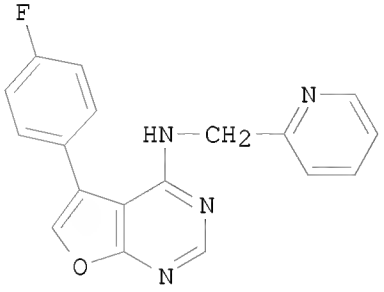


II

AB Title compds. represented by the formula I [wherein R1 = (hetero)aryl or (cyclo)alkyl; R2 = H, alkyl, nitro, CO2R7, amide or halo; R3 = H, (un)substituted amino, NC(O)R8, halo, etc.; X = O, S or NR6; R6 = H or alkyl; R7 = H, Me or ethyl; R8 = Me or ethyl; L = (CH2)n; n =1-3; Y = aryl, heterocyclyl, (cyclo)alkyl or alkenyl; and pharmaceutically acceptable salts thereof] were prepared as potassium channel inhibitors. For example, II was provided in a multi-step synthesis starting from 4-fluoroacetophenone. I were tested for potassium channel inhibitory in Kv1.5 autopatch electrophysiol. Thus, I and their pharmaceutical compns. are useful prepared as potassium channel inhibitors for the treatment of arrhythmia (no data).

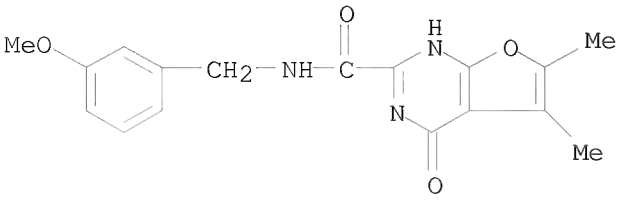
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1193013 CAPLUS
DOCUMENT NUMBER: 143:460174
TITLE: Preparation of heterocyclic amides as MMP-13 inhibitors for treating osteoarthritis and rheumatoid arthritis
INVENTOR(S): Terauchi, Jun; Kuno, Haruhiko; Nara, Hiroshi; Oki, Hideyuki; Sato, Kenjiro
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 455 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105760	A1	20051110	WO 2005-JP8549	20050428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005238386	A1	20051110	AU 2005-238386	20050428
CA 2564085	A1	20051110	CA 2005-2564085	20050428
EP 1740551	A1	20070110	EP 2005-739012	20050428
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1976907	A	20070606	CN 2005-80021727	20050428
BR 2005010305	A	20071002	BR 2005-10305	20050428
JP 2007535488	T	20071206	JP 2006-540833	20050428
MX 2006012333	A	20070117	MX 2006-12333	20061025
US 20080027050	A1	20080131	US 2006-579298	20061030
IN 2006KN03427	A	20070615	IN 2006-KN3427	20061120
KR 2007008709	A	20070117	KR 2006-724701	20061124
NO 2006005537	A	20070129	NO 2006-5537	20061130
PRIORITY APPLN. INFO.:			JP 2004-135596 A	20040430
			WO 2005-JP8549 W	20050428

OTHER SOURCE(S): CASREACT 143:460174; MARPAT 143:460174
IT 869297-39-2P, 5,6-Dimethyl-N-[[3-(methyloxy)phenyl]methyl]-4-oxo-3,4-dihydrofuro[2,3-d]pyrimidine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic amides as MMP-13 inhibitors for treating osteoarthritis and rheumatoid arthritis)
RN 869297-39-2 CAPLUS
CN Furo[2,3-d]pyrimidine-2-carboxamide,

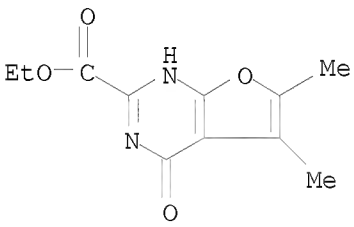
3,4-dihydro-N-[(3-methoxyphenyl)methyl]-5,6-dimethyl-4-oxo- (CA INDEX NAME)



IT 733784-60-6P, Ethyl 5,6-dimethyl-4-oxo-3,4-dihydrofuro[2,3-d]pyrimidine-2-carboxylate 869299-65-0P, 5,6-Dimethyl-4-oxo-3,4-dihydrofuro[2,3-d]pyrimidine-2-carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic amides as MMP-13 inhibitors for treating osteoarthritis and rheumatoid arthritis)

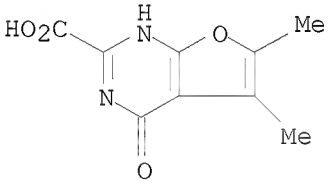
RN 733784-60-6 CAPLUS

CN Furo[2,3-d]pyrimidine-2-carboxylic acid, 3,4-dihydro-5,6-dimethyl-4-oxo-, ethyl ester (CA INDEX NAME)



RN 869299-65-0 CAPLUS

CN Furo[2,3-d]pyrimidine-2-carboxylic acid, 3,4-dihydro-5,6-dimethyl-4-oxo- (CA INDEX NAME)



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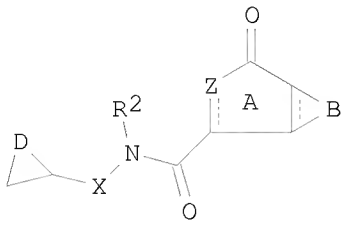

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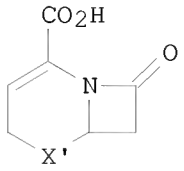
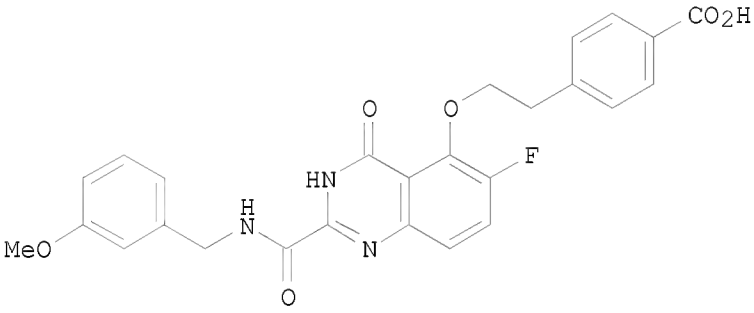


II

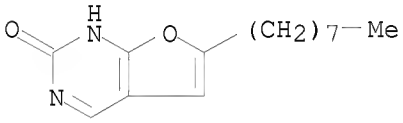


III

AB The invention is related to the preparation of heterocyclic amides of formula I [A = (un)substituted N-containing heterocycle; B = (un)substituted monocyclic homocycle or heterocycle; Z = N, NH and derivs.; R2 = H, (un)substituted hydrocarbyl; X = (un)substituted spacer; D = (un)substituted heterocycle other than II; X' = S, O, SO, CH2; and at least one of B and C has substituent(s); with the exception of 2 compds.; their salts, and their prodrugs] having a matrix metalloproteinase, particularly MMP-13, inhibitory activity. Thus, reacting 5,6-difluoro-N-[[3-(methyloxy)phenyl]methyl]-4-oxo-3,4-dihydroquinazoline-2-carboxamide (preparation given) with 4-(2-hydroxyethyl)benzoic acid gave amide III in 70% yield. III displayed an inhibitory rate of 99% towards MMP-13 activity. I are useful for treating osteoarthritis and rheumatoid arthritis.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:30431 CAPLUS
DOCUMENT NUMBER: 142:348100
TITLE: Non-nucleoside structures retain full anti-HCMV potency of the dideoxy furanopyrimidine family
AUTHOR(S): Bidet, Olivier; McGuigan, Christopher; Snoeck, Robert; Andrei, Graciela; De Clercq, Erik; Balzarini, Jan
CORPORATE SOURCE: Welsh School of Pharmacy, Cardiff University, Cardiff, UK
SOURCE: Antiviral Chemistry & Chemotherapy (2004), 15(6), 329-332
CODEN: ACCHEH; ISSN: 0956-3202
PUBLISHER: International Medical Press
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 473000-26-9
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-nucleoside structures retain full anti-HCMV potency of dideoxy furanopyrimidine family)
RN 473000-26-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-octyl- (CA INDEX NAME)

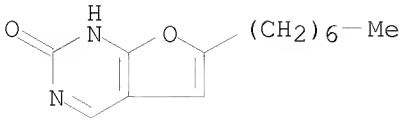


AB We have recently reported that 2',3'dideoxy analogs of our exquisitely potent anti-VZV furanopyrimidine deoxynucleosides are shifted to selective anti-HCMV agents. We now find that the fully deoxygenated 2',3',5'-trideoxy analog is fully antivirally active. This is taken as proof that these agents act by a novel non-nucleoside mechanism of action.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

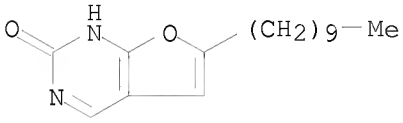
L5 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:965257 CAPLUS
DOCUMENT NUMBER: 141:410952
TITLE: Heterocyclic compounds, specifically 3,6-disubstituted 3H-furo[2,3-d]pyrimidin-2-ones and 2,6-disubstituted furo[2,3-d]pyrimidines, for use as novel nucleoside analogs and antivirals in the treatment of viral infections, particularly cytomegalovirus
INVENTOR(S): McGuigan, Christopher; Balzarini, Jan; De Clercq, Erik
PATENT ASSIGNEE(S): University College Cardiff Consultants Limited, UK; Rega Foundation
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004096813	A1	20041111	WO 2004-GB1687		20040421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004234110	A1	20041111	AU 2004-234110		20040421
EP 1622913	A1	20060208	EP 2004-728594		20040421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2006524672	T	20061102	JP 2006-506149		20040421
MX 2005010802	A	20051214	MX 2005-10802		20051007
US 20070191373	A1	20070816	US 2006-551569		20061013
PRIORITY APPLN. INFO.:			GB 2003-9506	A	20030425
			WO 2004-GB1687	W	20040421

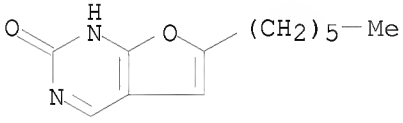
OTHER SOURCE(S): MARPAT 141:410952
IT 791782-75-7P, 6-Heptyl-3H-furo[2,3-d]pyrimidin-2-one
791782-89-3P, 6-Decyl-2,3-dihydrofuro[2,3-d]pyrimidin-2-one
791783-16-9P, 6-Hexyl-2,3-dihydrofuro[2,3-d]pyrimidin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of furopyrimidinones and furopyrimidines as antivirals, particularly for cytomegalovirus)
RN 791782-75-7 CAPLUS
CN Furo[2,3-d]pyrimidin-2(3H)-one, 6-heptyl- (CA INDEX NAME)



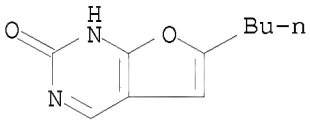
RN 791782-89-3 CAPLUS
CN Furo[2,3-d]pyrimidin-2(3H)-one, 6-decyl- (CA INDEX NAME)



RN 791783-16-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(3H)-one, 6-hexyl- (CA INDEX NAME)



IT 473450-34-9, 6-Butyl-3H-furo[2,3-d]pyrimidin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of furopyrimidinones and furopyrimidines as antivirals, particularly for cytomegalovirus)
RN 473450-34-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-butyl- (CA INDEX NAME)



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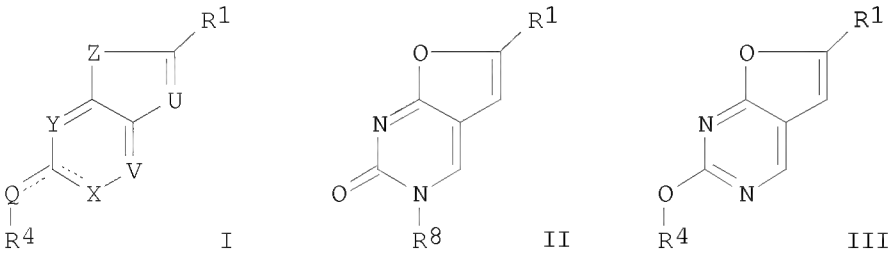


AB The title compds. I, which include both

6-substituted-3-substituted-3H-furo[2,3-d]pyrimidin-2-ones II and 6-substituted-2-substituted-furo[2,3-d]pyrimidines III, are novel compds. useful in the treatment of viral infection, in particular by cytomegalovirus (CMV). In compds. I, R1 and R4 are independently alkyl, aryl, alkenyl and alkynyl (the preferred 6-substituent is alkyl); Z is O, NH, S, Se, NR5, (CH2)1-10, or CT2 where T is independently H, alkyl, or halo, and R5 is alkyl, alkenyl or aryl; Y is N, CH, or CR6 where R6 is alkyl, alkenyl, alkynyl or aryl; Q is O, S, NH, N-alkyl, CH2, CH-alkyl, or C(alkyl)2; U is N or CR2, where R2 is H, alkyl, halo, (di)(alkyl)amino, nitro, cyano, alkoxy, aryloxy, thiol, alkylthiol, arylthiol, or aryl; V is N or CR3, where R3 is H, alkyl, halo, alkyloxy, aryloxy, or aryl. When a double bond exists between X and the ring atom to which Q is attached, and Q is linked to the ring moiety by a single bond, then X is selected from N, CH and CR7, where R7 is selected from alkyl, alkenyl, alkynyl and aryl. When a double bond links Q to the ring moiety, and a single bond exists between X and the ring atom to which Q is attached, then R4 does not exist and X is NR8, where R8 is alkyl, alkenyl, alkynyl or aryl; except that when Y is N, R8 is not an alkyl or alkenyl group which is substituted at the fourth atom of the chain of said alkyl or alkenyl group (counted along the shortest route away from the ring moiety including any heteroatom present in said chain) by a member selected from OH, phosphate, diphosphate, triphosphate, phosphonate, diphosphonate, triphosphonate and pharmacol. acceptable salts, derivs. and prodrugs thereof. The invention also includes pharmacol. acceptable salts, derivs. and prodrugs of compds. I. In particular, the invention provides novel compds. not requiring phosphorylation for biol. activity. Surprisingly the dideoxysugar in prior art compds. known from WO 01/85749 can be replaced by an alkyl, alkenyl, alkynyl or aryl moiety that does not require phosphorylation for biol. activity, and hence does not require the hydroxy or any groups on the, for example, alkyl C-4 atom deemed necessary for phosphorylation. I present a number of advantages over existing agents for human CMV (HCMV): (1) novel non-nucleoside structure and possibly novel mechanism of action; (2) antiviral activity at non-cytotoxic concns.; (3) lack of cross resistance with existing nucleoside drugs; (4) useful physiochem. properties such as high lipophilicity; (5) lead structures have calculated logP (ClogP) values of Ca. 4-6. The high lipophilicity of the present compds. may lead to improved in vivo dosing, tissue distribution, and pharmacokinetics. In a preliminary rodent trial, III (R1 = C7H15 and R4 = cyclopentyl) (IV) displayed significant bioavailability and half life following i.p. dosing. Moreover at a dose as high as 50 mg/kg/day for 10 days, no visible in vivo toxicity was noted, indicating a promising toxicol. profile. Histol. also revealed no detectable toxicity against brain, thymus, liver, lungs, kidney, breast, testes, ovum and spleen tissue. I can be sufficiently lipophilic to warrant their formulation and use as non-p.o. dosage forms, including topical, transdermal, and ocular formulations. The latter may be of particular value vs. HCMV retinitis, common in persons co-infected with HIV. The agents would therein have significant dosing, tissue localization and toxicol. advantage over current agents. The lack of chirality in structures embodying the present invention distinguishes them from typical nucleoside antivirals, with possible costs of goods and ease of synthesis advantage. Approx. 40 compds. were prepared and tested against two strains of CMV. For instance, 5-iodouracil was coupled with 1-hexyne using Pd(PPh3)4 and CuI in DMF in the presence of DIPEA at room temperature The product was cyclized in situ after addition of addnl. CuI and Et3N and refluxing, giving 6-heptyl-3H-furo[2,3-d]pyrimidin-2-one. Alkylation of this compound with cyclopentyl bromide and K2CO3 in DMF gave both 20% II (R1

= heptyl, R8 = cyclopentyl) and 51% III (R1 = heptyl, R4 = cyclopentyl), i.e., IV. In tests for inhibition of cytopathicity of CMV strains AD169 and Davis in human embryonic lung fibroblasts, these 2 compds. had resp. EC50 values of 5 and 3 μM against AD169 and 4 and 5 against Davis.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

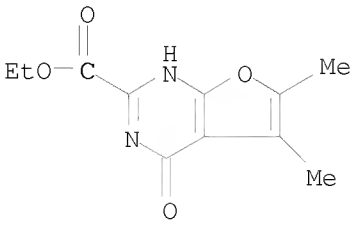
L5 ANSWER 10 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:633436 CAPLUS
DOCUMENT NUMBER: 141:174191
TITLE: Preparation of pyrazolopyrimidines as a small conductance potassium channel (SK channel) blocking agents
INVENTOR(S): Takamuro, Iwao; Sekine, Yasuo; Tsuboi, Yasunori; Nogi, Kouji; Taniguchi, Hiroyuki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064721	A2	20040805	WO 2004-JP617	20040123
WO 2004064721	A3	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO				
JP 2005162726	A	20050623	JP 2004-14376	20040122
EP 1585481	A2	20051019	EP 2004-704773	20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1742013	A	20060301	CN 2004-80002601	20040123
CN 100345853	C	20071031		
EP 1857459	A2	20071121	EP 2007-15684	20040123
EP 1857459	A3	20071128		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK				
US 20060135525	A1	20060622	US 2005-542081	20050713
US 7384952	B2	20080610		

PRIORITY APPLN. INFO.:

JP 2003-16770	A	20030124
JP 2003-205341	A	20030801
JP 2003-385399	A	20031114
EP 2004-704773	A3	20040123
WO 2004-JP617	W	20040123

OTHER SOURCE(S): MARPAT 141:174191
IT 733784-60-6P, Ethyl 5,6-dimethyl-4-oxo-3,4-dihydrofuro[2,3-d]pyrimidine-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as a small conductance potassium channel (SK channel) blocking agents)
RN 733784-60-6 CAPLUS
CN Furo[2,3-d]pyrimidine-2-carboxylic acid, 3,4-dihydro-5,6-dimethyl-4-oxo-, ethyl ester (CA INDEX NAME)



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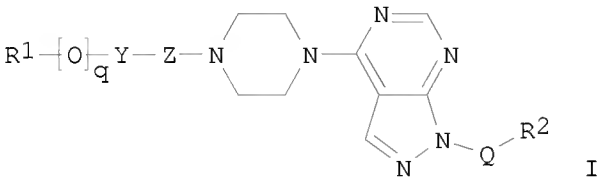
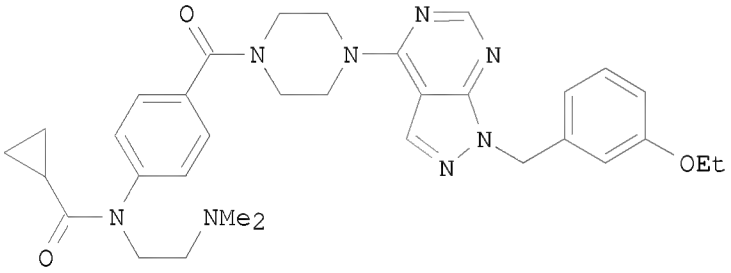


I



II

AB The title compds. [I; R1 = substituted aryl, (un)substituted nitrogen-containing aliphatic heteromonocyclyl, substituted cycloalkyl, (un)substituted amino, or substituted heteroaryl; R2 = (un)substituted (hetero)aryl; Y = a single bond, alkylene or alkenylene; Z = CO, CH2, S02, C:N(CN); Q = alkylene; q = 0-1] and their pharmaceutically acceptable salts, which have a small conductance potassium channel (SK channel) blocking activity, were prepared Thus, treating Et 4-{N-(cyclopropylcarbonyl)-N-[2-(dimethylamino)ethyl]amino}benzoate (preparation given) with 2N NaOH solution followed by treatment with 2N HCl, and the reaction of the resulting acid with 1-(3-ethoxybenzyl)-4-(piperazin-1-yl)-1H-pyrazol[3,4-d]pyrimidine dihydrochloride afforded 84% II which showed an excellent apamin-binding inhibitory activity (IC50 of 0.05 μM). The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:719487 CAPLUS
DOCUMENT NUMBER: 139:246044
TITLE: Bicyclic pyridine and pyrimidine derivatives, e.g., thieno[2,3-d]pyrimidines and analogs, active as p38 kinase inhibitors, and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Chen, Jian Jeffrey; Dewdney, Nolan James; Stahl, Christoph Martin
PATENT ASSIGNEE(S): F. Hoffman-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

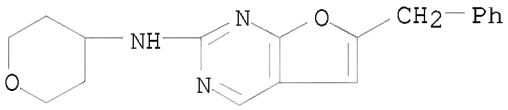
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074530	A1	20030912	WO 2003-EP2090	20030228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477721	A1	20030912	CA 2003-2477721	20030228
AU 2003210388	A1	20030916	AU 2003-210388	20030228
AU 2003210388	B2	20070517		
EP 1485390	A1	20041215	EP 2003-743361	20030228
EP 1485390	B1	20081008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008232	A	20041228	BR 2003-8232	20030228
CN 1639168	A	20050713	CN 2003-805419	20030228
CN 100386328	C	20080507		
JP 2005526057	T	20050902	JP 2003-572998	20030228
JP 4187657	B2	20081126		
RU 2301233	C2	20070620	RU 2004-129768	20030228
AT 410429	T	20081015	AT 2003-743361	20030228
ES 2314224	T3	20090316	ES 2003-743361	20030228
US 20030207900	A1	20031106	US 2003-383392	20030306
US 7091347	B2	20060815		
MX 2004008592	A	20041206	MX 2004-8592	20040903
US 20050288312	A1	20051229	US 2005-202611	20050812
US 7449472	B2	20081111		
US 20060084803	A1	20060420	US 2005-292217	20051130
US 7439247	B2	20081021		

PRIORITY APPLN. INFO.:	US 2002-362373P	P	20020307
	US 2002-430508P	P	20021203
	WO 2003-EP2090	W	20030228
	US 2003-383392	A1	20030306

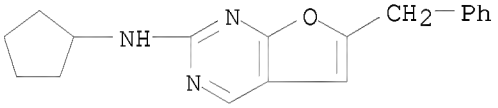
OTHER SOURCE(S): MARPAT 139:246044

IT 598297-82-6P, 2-[(Tetrahydropyran-4-yl)amino]-6-benzylfurano[2,3-d]pyrimidine 598297-83-7P, 2-(Cyclopentylamino)-6-benzylfurano[2,3-d]pyrimidine 598297-84-8P, 2-[(4-Hydroxycyclohexyl)amino]-6-benzylfurano[2,3-d]pyrimidine 598297-90-6P 598297-91-7P, 2-(Isopropylamino)-6-benzylfurano[2,3-d]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thienopyrimidines and analogs as p38 kinase inhibitors)

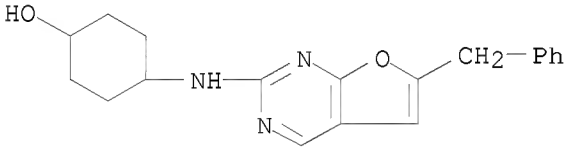
RN 598297-82-6 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 6-(phenylmethyl)-N-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)



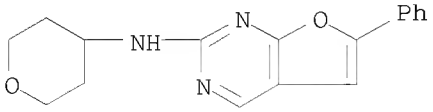
RN 598297-83-7 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, N-cyclopentyl-6-(phenylmethyl)- (CA INDEX NAME)



RN 598297-84-8 CAPLUS
CN Cyclohexanol, 4-[[6-(phenylmethyl)furo[2,3-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

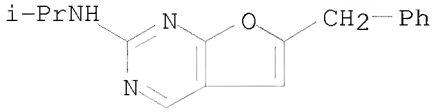


RN 598297-90-6 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 6-phenyl-N-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)



RN 598297-91-7 CAPLUS

CN Furo[2,3-d]pyrimidin-2-amine, N-(1-methylethyl)-6-(phenylmethyl)- (CA INDEX NAME)



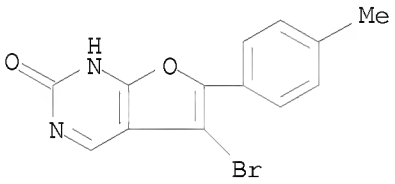
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

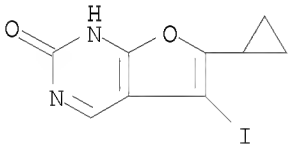
AB The invention discloses compds. I, their pharmaceutical formulations, methods of making them, and their uses in the treatment of p38 kinase-mediated diseases [wherein: A is N or CH; R1 is H, alkyl or arylalkyl; R2 is alkyl, hydroxyalkyl, (R'')2NCO-alkylene- (where each R'' is independently H or alkyl), cycloalkyl, heterocyclyl, aryl, heteroaryl, or heteroalkyl; X is O, NR3, or S, wherein R3 is H, alkyl, or aryl; and Y is bond, O, NR', CO, CH(OR'), CH(R'), or S(O)n, wherein n = 0-2; and R' is H or alkyl; and R is aryl or heteroaryl; or an isomer, a pharmaceutically acceptable salt, an ester, or a prodrug thereof]. The compds. are useful for treatment of disorders exacerbated or caused by excessive or unregulated TNF or p38 kinase production Claimed methods of treatment include uses for treatment of arthritis, Crohn's disease, Alzheimer's disease, irritable bowel syndrome, adult respiratory distress syndrome, and chronic obstructive pulmonary disease. A table of over 40 compds. I is given, and most of these compds. are also claimed individually. The example compds. are mostly thienopyrimidines, but include some furanopyrimidines and pyrrolopyrimidines. For instance, invention compound II (as the HCl salt) was prepared from 4-chloro-2-(methylthio)pyrimidine in 5 steps: (1) fluorination of chloro using KF and 18-crown-6 in tetraglyme; (2) lithiation in the 5-position with LDA and formylation with EtOCHO; (3) cyclocondensation of the resultant aldehyde with 2'-ClC6H4COCH2SH to form a fused thiophene ring; (4) oxidation of the methylthio group to a Me sulfone using Oxone; and (5) aminolysis of the sulfone with 4-aminotetrahydropyran, followed by chromatog. and acidification in ether. In a test for inhibition of recombinant p38 kinase in vitro, invention compound III gave an IC50 of 104 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:557250 CAPLUS
DOCUMENT NUMBER: 139:246175
TITLE: 5-Endo-Dig Electrophilic Cyclization of α-Alkynyl Carbonyl Compounds: Synthesis of Novel Bicyclic 5-Iodo- and 5-Bromofuranopyrimidine Nucleosides
AUTHOR(S): Rao, Meneni Srinivasa; Esho, Noor; Sergeant, Craig; Dembinski, Roman
CORPORATE SOURCE: Department of Chemistry, Oakland University, Rochester, MI, 48309-4477, USA
SOURCE: Journal of Organic Chemistry (2003), 68(17), 6788-6790
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:246175
IT 596107-23-2P 596107-24-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of bicyclic 5-iodo- and 5-bromofuranopyrimidine nucleoside analogs via 5-endo-dig electrophilic cyclization of α-alkynyl carbonyl nucleosides)
RN 596107-23-2 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 5-bromo-6-(4-methylphenyl)- (CA INDEX NAME)



RN 596107-24-3 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-cyclopropyl-5-iodo- (CA INDEX NAME)



AB 5-Endo-dig electrophilic cyclization of 5-alkynyl-2'-deoxyuridines with N-iodosuccinimide or N-bromosuccinimide in acetone at room temperature gives 3-(2'-deoxy-β-D-ribofuranosyl)-5-halo-2,3-dihydrofuro[2,3-d]pyrimidin-2-ones that usually precipitate from the reaction mixture (86-74%).
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:221693 CAPLUS
DOCUMENT NUMBER: 138:238197
TITLE: Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases
INVENTOR(S): Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun
PATENT ASSIGNEE(S): Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

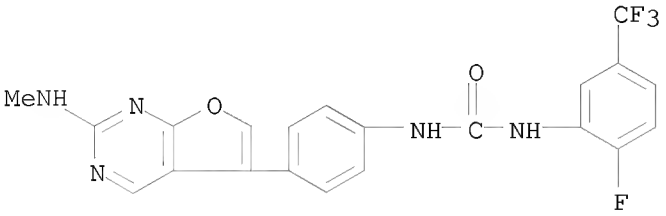
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022852	A2	20030320	WO 2002-US28650	20020910
WO 2003022852	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002333524	A1	20030324	AU 2002-333524	20020910
EP 1425284	A2	20040609	EP 2002-798181	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK				
JP 2005508904	T	20050407	JP 2003-526926	20020910
US 20050004142	A1	20050106	US 2004-489052	20040309
US 7427623	B2	20080923		
US 20080287466	A1	20081120	US 2008-169800	20080709

PRIORITY APPLN. INFO.:			
US 2001-318766P	P	20010911	
WO 2002-US28650	W	20020910	
US 2004-489052	A3	20040309	

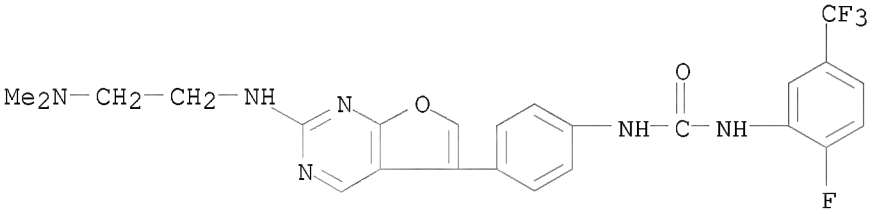
OTHER SOURCE(S): MARPAT 138:238197
IT 501696-13-5P, 5-[4-[[[[2-Fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-2-(methylamino)furo[2,3-d]pyrimidine 501696-14-6P, 2-[[2-(Dimethylamino)ethyl]amino]-5-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501696-20-4P, 5-[4-[[[[2-Fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-2-[[(2,4,6-trimethoxyphenyl)methyl]amino]furo[2,3-d]pyrimidine 501696-21-5P, 2-Amino-5-[4-[[[[2-Fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

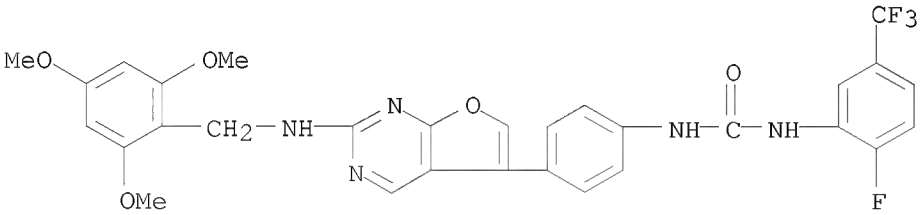
RN 501696-13-5 CAPLUS
CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[4-[2-(methylamino)furo[2,3-d]pyrimidin-5-yl]phenyl]- (CA INDEX NAME)



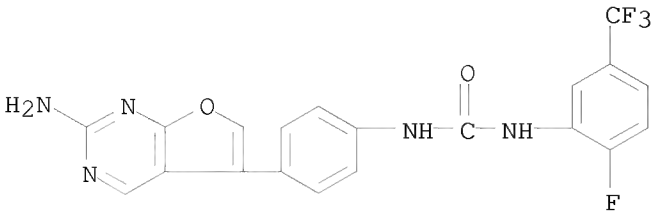
RN 501696-14-6 CAPLUS
CN Urea, N-[4-[2-[[2-(dimethylamino)ethyl]amino]furo[2,3-d]pyrimidin-5-yl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 501696-20-4 CAPLUS
CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[4-[2-[[(2,4,6-trimethoxyphenyl)methyl]amino]furo[2,3-d]pyrimidin-5-yl]phenyl]- (CA INDEX NAME)



RN 501696-21-5 CAPLUS
CN Urea, N-[4-(2-aminofuro[2,3-d]pyrimidin-5-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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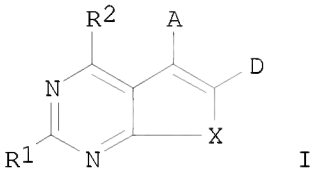
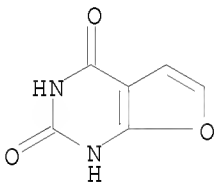


I

AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC50 = 0.0018 μM in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, -C(O)R4; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, or -C(O)R4. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R1 is H, C1-C6 alkyl, C1-C6 alkoxy, -SR4, -S(O)2R4, -NR7R7, -NR'N R'''R'''', -N(H)RR3, -C(O)OR7, or -C(O)NR7R7. R2 is H, -OH, -NR7R7 or :NH; R3 is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R4, -N(R8)HC(O)R4, -NHC(S)R4, -NR5R6, -RNR5R6, -SR4, -S(O)2R4, -RC(O)OR4, -C(O)OR4, -C(O)R4, -C(O)NR5R6, -NHS(O)2R4, -N(S(O)2R4)S(O)2R4, -S(O)2NR5R6, or -NHC(:NH)R4. R4 is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR3, -NR'''R'''', or - NR'NR'''R''''; R5 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR''', -R'NHC(O)OR''', -R'NHC(O)NR'''R'''', or -R'C(O)OR'''. R6 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)OR''', or -R'C(O)NR'''R'''; R7 is H, C1-C6 alkyl, aryl, or -C(O)OR'''; R8 is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR'''R''''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example prepns. of I are included and characterization data is given for .apprx.480 examples of I.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:85532 CAPLUS
DOCUMENT NUMBER: 139:307735
TITLE: Synthetic applications of some heteroaryl diazonium salts, azides, and similar compounds: ring contraction, rearrangements and other interesting reactions
AUTHOR(S): Recnik, Simon; Svete, Jurij
CORPORATE SOURCE: Fak. Kem. Kem. Tehnol., Univerza Ljubljana, Ljubljana, Slovenia
SOURCE: Zbornik Referatov s Posvetovanja Slovenski Kemijski Dnevi, Maribor, Slovenia, Sept. 26-27, 2002 (2002), Issue Part 1, 211-214. Editor(s): Glavic, Peter; Brodnjak-Voncina, Darinka. Univerza v Mariboru, Fakulteta za Kemijo in Kemijsko Tehnologijo: Maribor, Slovenia.
CODEN: 69DNMZ; ISBN: 86-435-0491-2
DOCUMENT TYPE: Conference
LANGUAGE: Slovenian
OTHER SOURCE(S): CASREACT 139:307735
IT 612066-45-2P, Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of various heterocyclic systems via azidation, alkylation, ring contraction and rearrangement reactions of heteroaryl diazonium salts)
RN 612066-45-2 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione (CA INDEX NAME)



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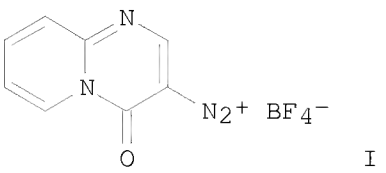

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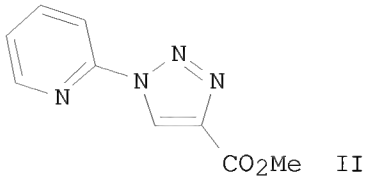
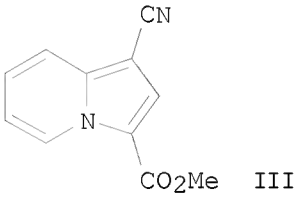
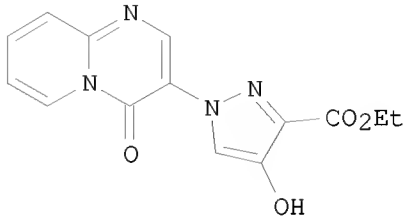


II



III



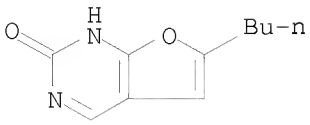
IV

AB A series of heteroaryl diazonium salts derived in high yields from dimethylamino propenoates, e.g. 4-oxoquinolizine-3-diazonium tetrafluoroborate I, its aza analogs and 3-azido derivs., were developed as highly versatile and efficient precursors in the synthesis of several heterocyclic systems. Alkyl 1-heteroaryl-1H-1,2,3-triazole-4-carboxylates, e.g. II, were prepared by heterocycle interconversion of these diazonium salts in MeOH or EtOH, whereas 1-substituted indolizine-3-carboxylates, e.g. III, were formed in a novel aza-Wolff rearrangement. Condensation of I with 1,3-diketones, such as Me 4-chloroacetoacetate, afforded the corresponding diketo hydrazones, which underwent thermal cyclization to give regioselectively 1-heteroaryl-1H-pyrazoles, e.g. IV. Reactions of I with aliphatic secondary amines gave the corresponding triazenes; however, treatment with primary amine resulted in pyrimidine ring opening.

L5 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:814111 CAPLUS
DOCUMENT NUMBER: 137:325426
TITLE: Preparation of pyrimidine derivatives as anti-ictogenic and/or anti-epileptogenic agents
INVENTOR(S): Weaver, Donald F.; Guillain, Buhendwa Musole; Carran, John R.; Jones, Kathryn
PATENT ASSIGNEE(S): Queen's University At Kingston, Can.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002083651	A2	20021024	WO 2002-CA512		20020411
WO 2002083651	A3	20021219			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2444148	A1	20021024	CA 2002-2444148		20020411
AU 2002249037	A1	20021028	AU 2002-249037		20020411
US 20030153584	A1	20030814	US 2002-123062		20020411
US 7501429	B2	20090310			
EP 1385831	A2	20040204	EP 2002-717913		20020411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004527535	T	20040909	JP 2002-581407		20020411
US 20030194375	A1	20031016	US 2002-272249		20021015
PRIORITY APPLN. INFO.:			US 2001-282987P	P	20010411
			US 2001-285940P	P	20010423
			US 2001-310748P	P	20010807
			US 2002-99934	A	20020313
			US 2001-275618P	P	20010313
			WO 2002-CA512	W	20020411

OTHER SOURCE(S): MARPAT 137:325426
IT 473450-34-9P, 6-Butyl-3H-furo[2,3-d]pyrimidin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine (uracil) derivs. as antiepileptic agents)
RN 473450-34-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-butyl- (CA INDEX NAME)



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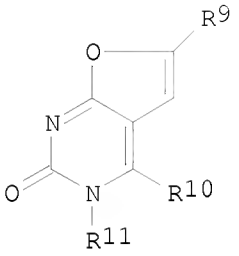
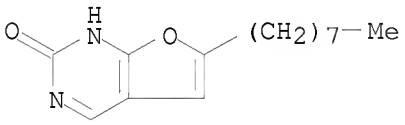


I

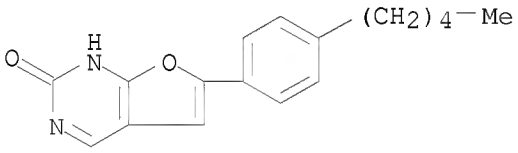
AB Title compds., e.g., I [R9 = H, alkyl, alkynyl, aryl, amino, etc.; R10 = H, alkyl, aryl, carboxyl, etc.; R11 = H, alkyl, amino, thioether, tetrahydrofuranyl] and derivs. thereof were prepared For instance, 5-hydroxymethyuracil (II) was prepared from uracil and formaldehyde (KOHaq, 50°, 72 h). II and other example compds. tested were active in the hippocampal kindling seizure model. I are useful for the inhibition of convulsive disorders including epilepsy.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:335689 CAPLUS
DOCUMENT NUMBER: 137:304284
TITLE: Lack of susceptibility of bicyclic nucleoside analogs, highly potent inhibitors of varicella-zoster virus, to the catabolic action of thymidine phosphorylase and dihydropyrimidine dehydrogenase
AUTHOR(S): Balzarini, Jan; Sienaert, Rebecca; Liekens, Sandra; Van Kuilenburg, Andre; Carangio, Antonella; Esnouf, Robert; De Clercq, Erik; McGuigan, Chris
CORPORATE SOURCE: Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, Belg.
SOURCE: Molecular Pharmacology (2002), 61(5), 1140-1145
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 473000-26-9, Cf 1381 473000-27-0, Cf 2200
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(susceptibility of bicyclic nucleoside analogs, highly potent inhibitors of varicella-zoster virus, to catabolic action of thymidine phosphorylase and dihydropyrimidine dehydrogenase compared with established anti-VZV agents)
RN 473000-26-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-octyl- (CA INDEX NAME)



RN 473000-27-0 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 6-(4-pentylphenyl)- (CA INDEX NAME)

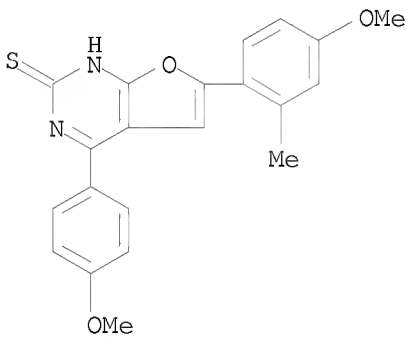


AB The susceptibility of the bicyclic nucleoside analogs (BCNAs), highly potent and selective inhibitors of varicella-zoster virus (VZV), to the enzymes involved in nucleoside/nucleobase catabolism has been investigated in comparison with the established anti-VZV agent (E)-5-(2-bromovinyl)-2'-deoxyuridine [BVDU; brivudine (Zostex)]. Whereas human and bacterial thymidine phosphorylases (TPases) efficiently converted BVDU to its antivirally inactive free base (E)-5-(2-bromovinyl)uracil (BVU), BCNAs showed no evidence of conversion to the free base in the presence of these enzymes. The lack of substrate affinity of TPase for the BCNAs could be rationalized by computer-assisted mol. modeling of the BCNAs in the TPase active site. Moreover, in

contrast with BVU, which is a potent and selective inhibitor of dihydropyrimidine dehydrogenase (DPD) (50% inhibitory concentration; 10 μM in the presence of a 25 μM concentration of the natural substrate thymine), the free base (Cf 1381; 6-octyl-2,3-dihydrofuro[2,3-d]pyrimidin-2-one) of BCNA (Cf 1368; 3-(2'-deoxy-β-D-ribofuranosyl)-6-octyl-2,3-dihydrofuro [2,3-d]pyrimidin-2-one) and the free base Cf 2200 [6-(4-n-pentylphenyl)-2,3-dihydrofuro[2,3-d]pyrimidin-2-one] of BCNA (Cf 1743; 3-(2'-deoxy-β-D-ribofuranosyl)-6-(4-n-pentylphenyl)-2,3-dihydrofuro [2,3-d]pyrimidin-2-one) did not inhibit the DPD-catalyzed catabolic reaction of pyrimidine bases (i.e., thymine) and pyrimidine base analogs [i.e., 5-fluorouracil (FU)] at a concentration of 250 μM. Consequently, whereas BVU caused a dramatic rise of FU levels in FU-treated mice, the BCNAs did not affect FU levels in such mice. From the authors' data it is evident that BCNAs represent highly stable anti-VZV compds. that are not susceptible to breakdown by nucleoside/nucleobase catabolic enzymes and are not expected to interfere with cellular catabolic processes such as those involved in FU catabolism.

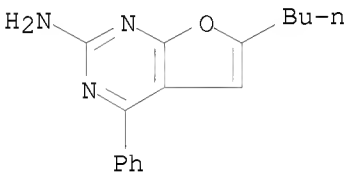
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:588277 CAPLUS
DOCUMENT NUMBER: 134:178522
TITLE: Synthesis and reaction of fused polynuclear heterocycles
AUTHOR(S): Salman, A. S. S.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Girls Branch, El-Azhar University, Nast City, Egypt
SOURCE: Communications de la Faculte des Sciences de l'Universite d'Ankara, Series B: Chemistry and Chemical Engineering (2000), Volume Date 1999, 45(1-2), 85-91
CODEN: CFBEEC
PUBLISHER: University of Ankara, Faculty of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:178522
IT 326589-60-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and reaction of fused polynuclear heterocycles)
RN 326589-60-0 CAPLUS
CN Furo[2,3-d]pyrimidine-2(1H)-thione, 6-(4-methoxy-2-methylphenyl)-4-(4-methoxyphenyl)- (CA INDEX NAME)



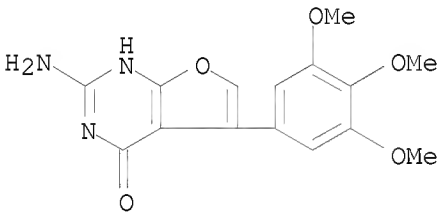
AB Reaction of 6-amino-5-cyano-4-(4-methoxyphenyl)-2-(4-methoxy-2-methylphenyl)furo[2,3-b]pyridine with malononitrile, Et cyanoacetate, formic acid/sodium acetate mixture and formamide afforded the corresponding 2,4-diamino-3-cyano-furo[2',3':6,5]pyrido[2,3-b]pyridine, 4-amino-3-cyano-furo[2',3':6,5]pyrido[2,3-b]pyridine-2(IH)-one, furo[2',3':6,5]pyrido[2,3-d]pyrimidine-4(3H)-one, and 4-aminofuro[2',3':6,5]pyrido[2,3-d]-pyrimidine. Treatment of 4-(4-methoxyphenyl)-2-(4-methoxy-2-methylphenyl)furo[2,3-d]pyrimidine-6 thione with benzoylhydrazine and Et chloroacetate afforded the corresponding furo[3,2-e][1,2,4]triazolo[4,3-a]-pyridimidine and 6-(carbethoxymethylthio)furo[2,3-d]pyridimidine. Condensation of 6-amino-5-cyano-4-(4-methoxyphenyl)-2-(4-methoxy-2-methylphenyl)furo[2,3-b]pyran with acetic anhydride, acetic anhydride pyridine mixture and p-chlorobenzylidenemalonitrile afforded the corresponding 6-acetamido-4H-furo[2,3-b]pyran, 2-methyl-4-oxo-3,4-dihydro-5H-furo [2',3':6,5]pyrano[2,3-d]pyrimidine, and 4-amino-3-cyano-5H-furo[2',3':6,5]pyrano [2,3-b]pyridine. The structure of new compds. were established by anal. and spectroscopic measurements.

L5 ANSWER 18 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:220886 CAPLUS
DOCUMENT NUMBER: 133:105004
TITLE: Structural studies on bioactive compounds. Part 29. Palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory 2-amino-5-halo-4,6-(disubstituted)pyrimidines
AUTHOR(S): Hannah, D. R.; Sherer, E. C.; Davies, R. V.; Titman, R. B.; Laughton, C. A.; Stevens, M. F. G.
CORPORATE SOURCE: School of Pharmaceutical Sciences, Cancer Research Laboratories, University of Nottingham, Nottingham, UK
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(4), 739-750
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:105004
IT 282543-48-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory aminohalopyrimidines)
RN 282543-48-0 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 6-butyl-4-phenyl- (CA INDEX NAME)

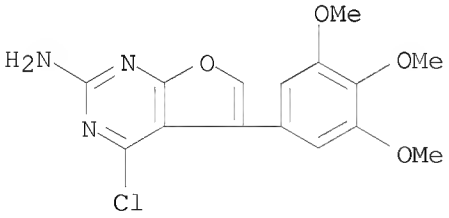


AB Immunol. agent bropirimine is a tetra-substituted pyrimidine with anticancer and interferon-inducing properties. Synthetic routes to novel 5-aryl analogs of bropirimine have been developed and their potential mol. recognition properties analyzed by mol. modeling methods. Sterically challenged 2-amino-5-halo-6-phenylpyrimidin-4-ones (halo = Br or I) are poor substrates for palladium catalyzed Suzuki cross-coupling reactions with benzeneboronic acid because the basic conditions of the reaction converts the amphoteric pyrimidinones to their unreactive enolic forms. Palladium-mediated reductive dehalogenation of the pyrimidinone substrates effectively competes with cross-coupling. 2-Amino-5-halo-4-methoxy-6-phenylpyrimidines can be converted to a range of 5-aryl derivs. with the 5-iodopyrimidines being the most efficient substrates. Hydrolysis of the 2-amino-5-aryl-4-methoxy-6-phenylpyrimidines affords the required pyrimidin-4-ones in high yields. Semiempirical quantum mech. calcns. show how the nature of the 5-substituent influences the equilibrium between the 1H- and 3H-tautomeric forms, and the rotational freedom about the bond connecting the 6-Ph group and the pyrimidine ring. Both of these factors may influence the biol. properties of these compds.
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:151039 CAPLUS
DOCUMENT NUMBER: 130:267398
TITLE: Synthesis and biological evaluation of 5-arylfuro[2,3-d]pyrimidines as novel dihydrofolate reductase inhibitors
AUTHOR(S): Wahid, Farid; Monneret, Claude; Dauzonne, Daniel
CORPORATE SOURCE: Unite Mixte de Recherche Institut Curie-CNRS (UMR176), Institut Curie, Section de Recherche, Paris, F-75248, Fr.
SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(2), 156-164
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 222295-11-6P 222295-29-6P 222295-35-4P
222295-36-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-arylfuro[2,3-d]pyrimidines as dihydrofolate reductase inhibitors)
RN 222295-11-6 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

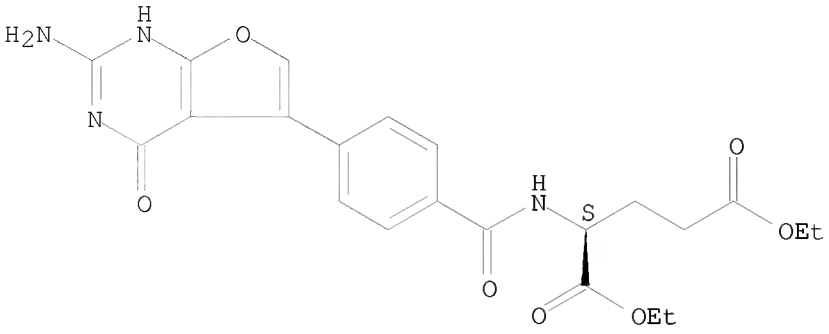


RN 222295-29-6 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4-chloro-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



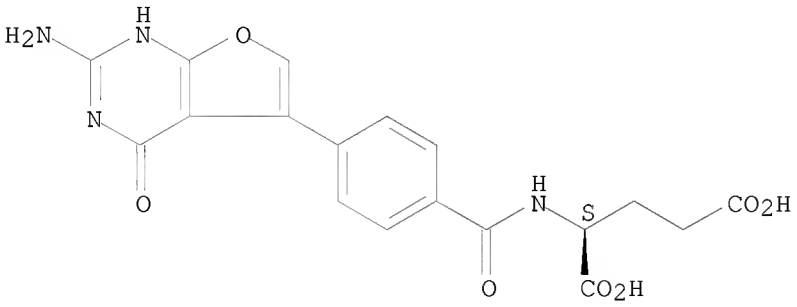
RN 222295-35-4 CAPLUS
CN L-Glutamic acid, N-[4-(2-amino-1,4-dihydro-4-oxofuro[2,3-d]pyrimidin-5-yl)benzoyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

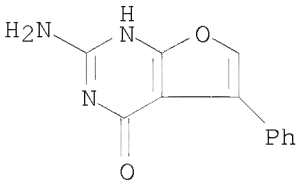


RN 222295-36-5 CAPLUS
CN L-Glutamic acid, N-[4-(2-amino-1,4-dihydro-4-oxofuro[2,3-d]pyrimidin-5-yl)benzoyl]- (9CI) (CA INDEX NAME)

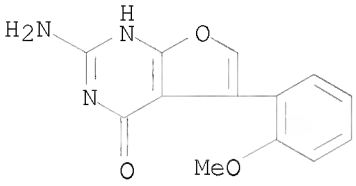
Absolute stereochemistry.



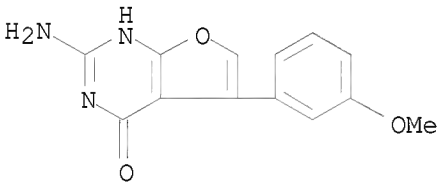
IT 222295-07-0P 222295-08-1P 222295-09-2P
222295-10-5P 222295-13-8P 222295-14-9P
222295-15-0P 222295-16-1P 222295-19-4P
222295-21-8P 222295-22-9P 222295-25-2P
222295-26-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 5-arylfuro[2,3-d]pyrimidines as dihydrofolate reductase inhibitors)
RN 222295-07-0 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-phenyl- (CA INDEX NAME)



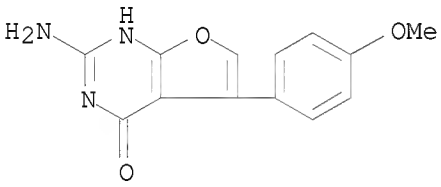
RN 222295-08-1 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(2-methoxyphenyl)- (CA INDEX NAME)



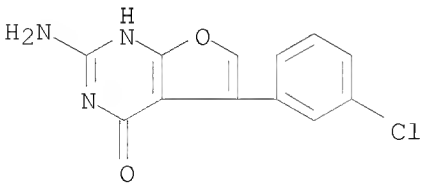
RN 222295-09-2 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(3-methoxyphenyl)- (CA INDEX NAME)



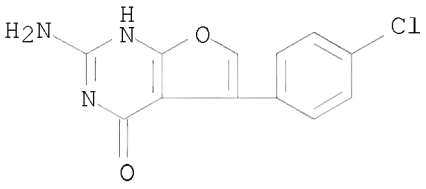
RN 222295-10-5 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(4-methoxyphenyl)- (CA INDEX NAME)



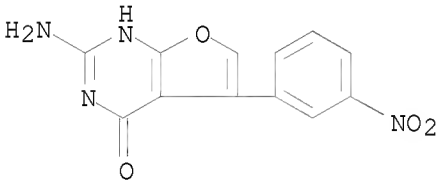
RN 222295-13-8 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(3-chlorophenyl)- (CA INDEX NAME)



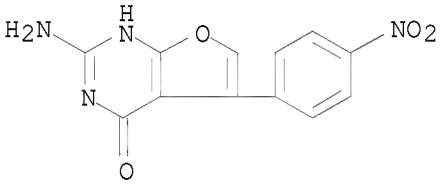
RN 222295-14-9 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(4-chlorophenyl)- (CA INDEX NAME)



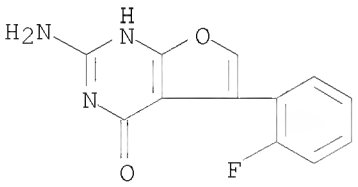
RN 222295-15-0 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(3-nitrophenyl)- (CA INDEX NAME)



RN 222295-16-1 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(4-nitrophenyl)- (CA INDEX NAME)

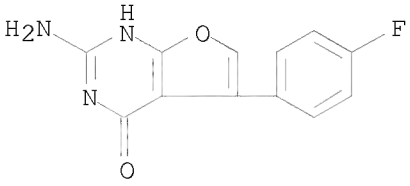


RN 222295-19-4 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(2-fluorophenyl)- (CA INDEX NAME)

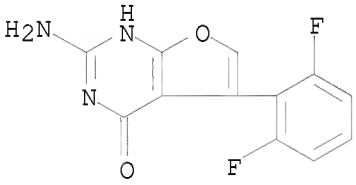


RN 222295-21-8 CAPLUS

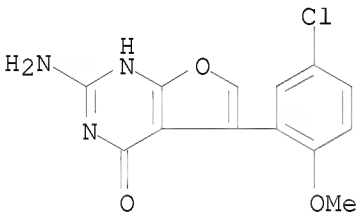
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(4-fluorophenyl)- (CA INDEX NAME)



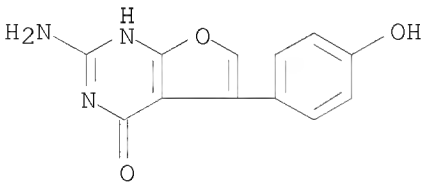
RN 222295-22-9 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(2,6-difluorophenyl)- (CA INDEX NAME)



RN 222295-25-2 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(5-chloro-2-methoxyphenyl)- (CA INDEX NAME)



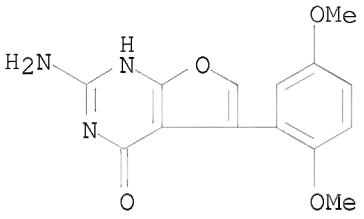
RN 222295-26-3 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(4-hydroxyphenyl)- (CA INDEX NAME)



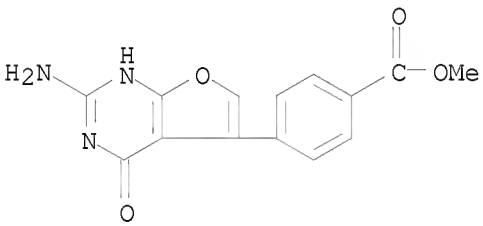
IT 222295-23-0P 222295-27-4P 222295-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-arylfuro[2,3-d]pyrimidines as dihydrofolate reductase inhibitors)

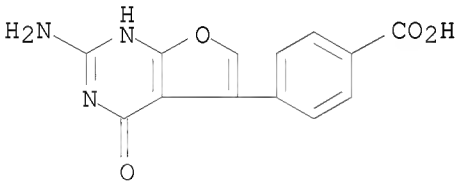
RN 222295-23-0 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(2,5-dimethoxyphenyl)- (CA INDEX NAME)



RN 222295-27-4 CAPLUS
CN Benzoic acid, 4-(2-amino-3,4-dihydro-4-oxofuro[2,3-d]pyrimidin-5-yl)-, methyl ester (CA INDEX NAME)

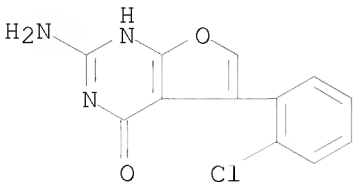


RN 222295-34-3 CAPLUS
CN Benzoic acid, 4-(2-amino-3,4-dihydro-4-oxofuro[2,3-d]pyrimidin-5-yl)- (CA INDEX NAME)

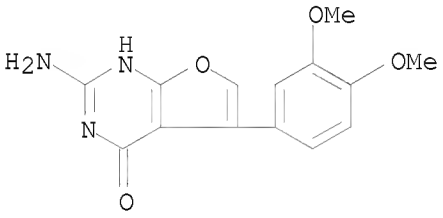


IT 222295-12-7P 222295-17-2P 222295-20-7P
222295-24-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-arylfuro[2,3-d]pyrimidines as dihydrofolate reductase inhibitors)

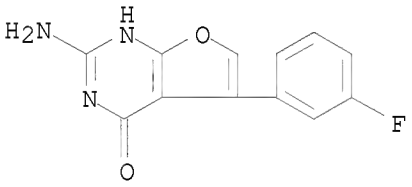
RN 222295-12-7 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(2-chlorophenyl)- (CA INDEX NAME)



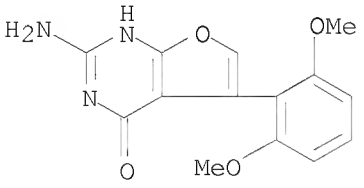
RN 222295-17-2 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



RN 222295-20-7 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(3-fluorophenyl)- (CA INDEX NAME)



RN 222295-24-1 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino-5-(2,6-dimethoxyphenyl)- (CA INDEX NAME)



AB A series of about fifty novel 5-arylfuro[2,3-d]pyrimidine derivs. were synthesized as potential inhibitors of dihydrofolate reductase arising from different species. Weak enzyme inhibition was observed for most of the compds., with only a few reaching IC50 values less than 30 μM. With

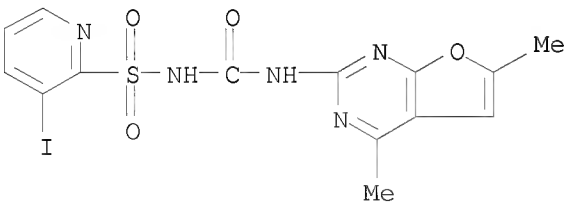
regards to antibacterial and antimalarial potency, only seven compds. showed a modest in vitro activity against some bacteria strains and only three products proved significantly active against P. falciparum.

REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

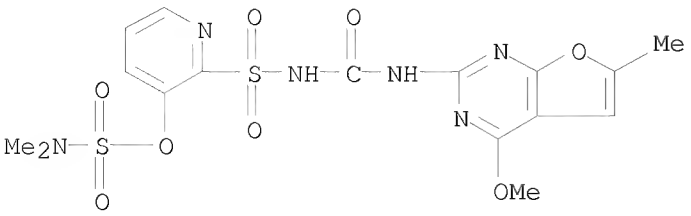
L5 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:447073 CAPLUS
DOCUMENT NUMBER: 125:142571
ORIGINAL REFERENCE NO.: 125:26685a,26688a
TITLE: Pyridyl sulfonyl ureas as herbicides and plant growth regulators
INVENTOR(S): Kehne, Heinz; Willms, Lothar; Ort, Oswald; Bauer, Klaus; Bieringer, Hermann
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: U.S., 27 pp., Cont. of U. S. Serl No. 112,421, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5529976	A	19960625	US 1994-336571		19941109
DE 4000503	A1	19910711	DE 1990-4000503		19900110
US 5635451	A	19970603	US 1992-859513		19920608
PRIORITY APPLN. INFO.:			DE 1990-4000503	A	19900110
			DE 1990-4030557	A	19900927
			US 1992-859513	A1	19920608
			US 1993-112421	B1	19930818
			DE 1990-4030577	A	19900927
			WO 1990-EP2308	W	19901224

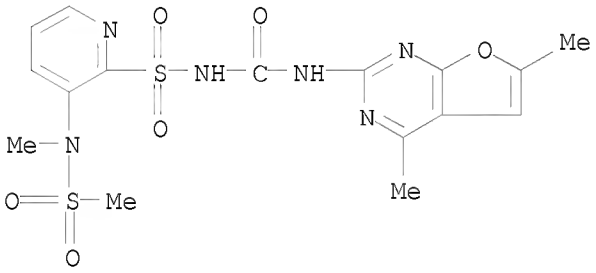
OTHER SOURCE(S): MARPAT 125:142571
IT 179892-45-6P 179892-46-7P 179892-58-1P
179892-59-2P
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure and manufacture of pyridyl sulfonyl ureas as herbicides and plant growth regulators)
RN 179892-45-6 CAPLUS
CN 2-Pyridinesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-3-iodo- (CA INDEX NAME)



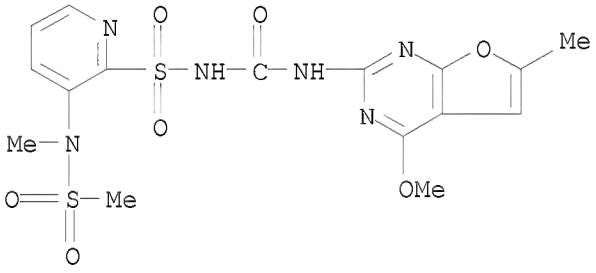
RN 179892-46-7 CAPLUS
CN Sulfamic acid, N,N-dimethyl-, 2-[[[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-3-pyridinyl ester (CA INDEX NAME)



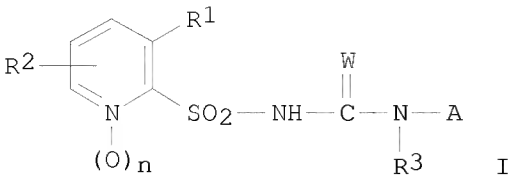
RN 179892-58-1 CAPLUS
CN 2-Pyridinesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-3-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



RN 179892-59-2 CAPLUS
CN 2-Pyridinesulfonamide, N-[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-3-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



GI



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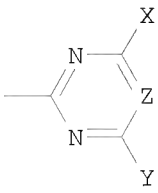
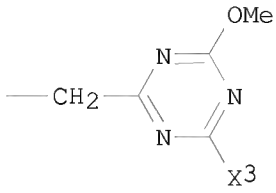


II



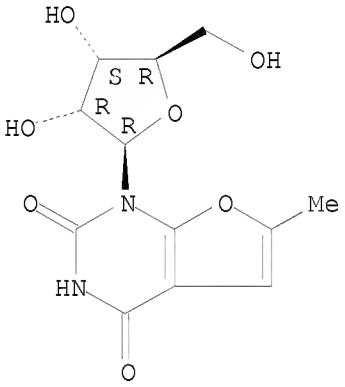
III

AB Compds. of formula I, where R1 is -SO2NR4R5, -NR6R7 or iodine, R2 is H, C1-4 alkyl, C1-3 haloalkyl, halogen, NO2, CN, C1-3 alkoxy, C1-3 haloalkoxy, C1-3 alkylthio, C1-3 alkoxy-C1-3 alkyl, C1-3 alkoxycarbonyl, C1-3 alkylamino, di(C1-3 alkyl)amino, C1-3 alkylsulfinyl, C1-3 alkylsulfonyl, SO2NRaRb or C(O)NRaRb, Ra and Rb independently of one another are H, C1-3 alkyl, C3-4 alkenyl, propargyl, or together are -(CH2)4-, -(CH2)5 or CH2CH2OCH2CH2-, R3 is H or CH3, R4 is H, C1-3 alkyl, C3-4 alkenyl, C1-3 alkoxy or C3-4 alkynyl, R5 is H, C1-3 alkyl, C3-4 alkenyl or C3-4 alkynyl, or R4 and R5 together are -(CH2)4-, (CH2)5 or -CM2CH2OCH 2CH2-, R6 is H, C1-8 alkyl, which is unsubstituted or substituted by ≥1 radicals from the group comprising halogen, C1-4 alkoxy, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, C1-4 alkoxycarbonyl and CN, C3-6 alkenyl which is unsubstituted or substituted by ≥1 halogen atoms, C3-6 alkynyl which is unsubstituted or substituted by ≥1 halogen atoms, C1-4 alkylsulfonyl which is unsubstituted or substituted by ≥1 halogen atoms, phenylsulfonyl where the Ph radical is unsubstituted or substituted by ≥1 radicals from the group comprising halogen, C1-4 alkyl and C1-4 alkoxy, C1-4 alkoxy or C1-4 alkycarbonyl which is unsubstituted or substituted by ≥1 halogen atoms,. R7 is C1-4 alkylsulfonyl which is unsubstituted or substituted by ≥1 halogen atoms, phenylsulfonyl where the Ph radical is unsubstituted or substituted by ≥1 radicals form the group comprising halogen, C1-4 alkoxy, or di(C1-4 alkyl)aminosulfonyl or R6and R7 together are a chain of the formula -(CH2)m-SO2, where the chain can addnl. be substituted by 1-4 C1-3 alkyl radicals and m is 3 or 4, n is zero or 1, W is 0 or S, A is II or III, X is H, halogen, C1-3 alkyl, C1-3 alkoxy, where the two last-mentioned radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted by C1-3 alkoxy, Y is H, C1-3 alkyl, C1-3 alkoxy or C1-3 alkylthio, where the above-mentioned alkyl-containing radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted or disubstituted by C1-3 alkoxy or C1-3 alkylthio, or is a radical of the formula NR8R9, C3-6 cycloalkyl, C2-4 alkenyl, C2-4 alkynyl, C3-4 alkynyl, C3-4 alkenyloxy or C3-4 alkynyloxy, Z is N, R8 and R9 independently of one another are H, C1-3 alkyl or C3-4 alkenyl, X3 is CH or OCH3. I can be produced by a process similar to known processes and II can be obtained from the corresponding sulfochlorides.

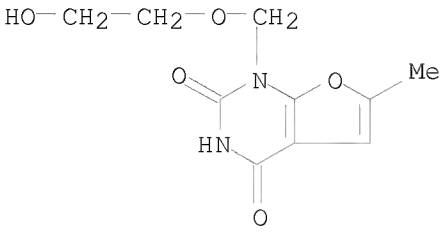
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:570227 CAPLUS
DOCUMENT NUMBER: 123:112617
ORIGINAL REFERENCE NO.: 123:20137a,20140a
TITLE: Synthesis and antiviral evaluation of furopyrimidine diones cyclic and acyclic, nucleoside analogs
AUTHOR(S): Renault, Jacques; Jourdan, Fabrice; Laduree, Daniel; Robba, Max
CORPORATE SOURCE: Cent. Etudes Recherche Med. Normandie, U.F.R. Sci. Pharm, Caen, 14032, Fr.
SOURCE: Heterocycles (1995), 41(5), 937-45
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 165903-88-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of furopyrimidinedione cyclic and acyclic nucleoside analogs as virucides)
RN 165903-88-8 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-1-β-D-ribofuranosyl- (CA INDEX NAME)

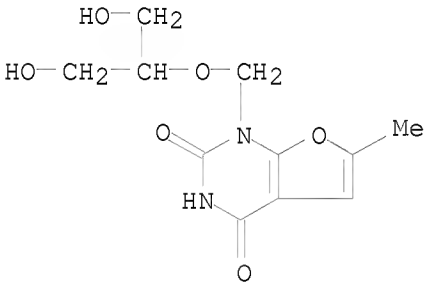
Absolute stereochemistry.



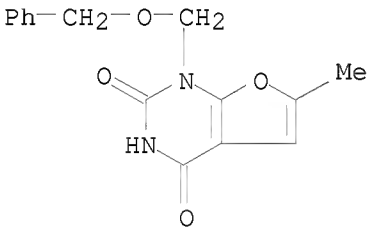
IT 165903-84-4P 165903-85-5P 165903-86-6P
165903-87-7P 165903-91-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of furopyrimidinedione cyclic and acyclic nucleoside analogs as virucides)
RN 165903-84-4 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-[(2-hydroxyethoxy)methyl]-6-methyl- (CA INDEX NAME)



RN 165903-85-5 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione,
1-[[2-hydroxy-1-(hydroxymethyl)ethoxy]methyl]-6-methyl- (CA INDEX NAME)

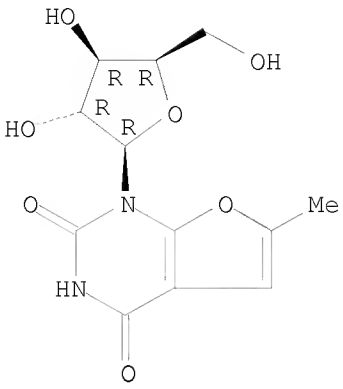


RN 165903-86-6 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione,
6-methyl-1-[(phenylmethoxy)methyl]- (CA INDEX NAME)



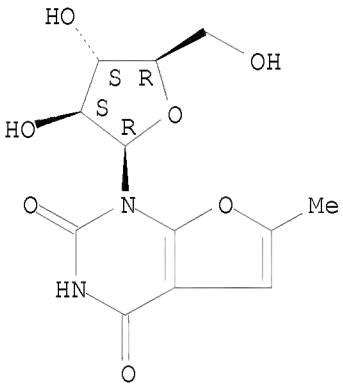
RN 165903-87-7 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-1-β-D-xylofuranosyl-
(CA INDEX NAME)

Absolute stereochemistry.

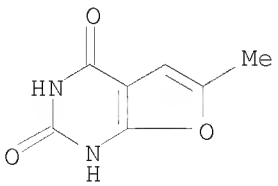


RN 165903-91-3 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione,
1-β-D-arabinofuranosyl-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.

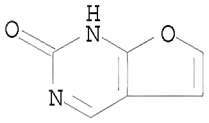


IT 91673-53-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of furopyrimidinedione cyclic and acyclic nucleoside analogs as virucides)
RN 91673-53-9 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl- (CA INDEX NAME)



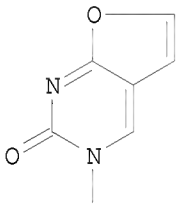
AB Following Vorbrueggen and Niedballa's method, the synthesis of new cyclic and acyclic nucleoside analogs, whose aglycon was a furopyrimidinedione, was carried out. Among the various compds. that were obtained was the a β-D-ribonucleoside which gave us access to a β-D-arabino nucleoside whose synthesis by Vorbrueggen and Niedballa's method had remained unsuccessful. All the new compds. were tested against human immunodeficiency virus 1 (HIV-1). None of these compds. showed significant activity.

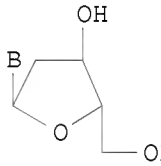
L5 ANSWER 22 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:389461 CAPLUS
DOCUMENT NUMBER: 122:265913
ORIGINAL REFERENCE NO.: 122:48564h,48565a
TITLE: Steric fixation of bromovinyluracil: synthesis of furo[2,3-d]pyrimidine nucleosides
AUTHOR(S): Eger, Kurt; Jalalian, Mohammad; Schmidt, Mathias
CORPORATE SOURCE: Inst. Pharm., Univ. Leipzig, Leipzig, D-04103, Germany
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(1), 211-18
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:265913
IT 62785-91-5P, Furo[2,3-d]pyrimidin-2(1H)-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of furopyrimidine nucleosides via intramol. cyclocondensation of bromovinyluracil)
RN 62785-91-5 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one (CA INDEX NAME)



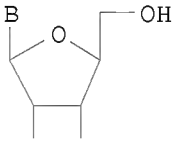
GI

B=





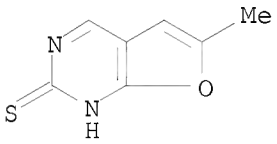
III



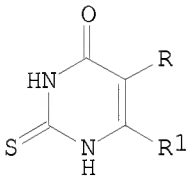
IV

AB A new synthetic procedure for the preparation of 5,6-dihydrofuro[2,3-d]pyrimidin-2(3H)-one (I) and its deoxyriboside is reported. Compound I undergoes nucleophilic reactions with various agents to yield 5-substituted uracil derivs. The dehydro derivative of I, furo[2,3-d]pyrimidin-2(3H)-one (II) was synthesized by intramol. cyclocondensation of 5-(2-bromovinyl)-uracil. Starting from II, the α-deoxyriboside III and the β-riboside IV were prepared

L5 ANSWER 23 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:83620 CAPLUS
DOCUMENT NUMBER: 116:83620
ORIGINAL REFERENCE NO.: 116:14239a,14242a
TITLE: Synthetic approaches to a carboranyl thiouracil
AUTHOR(S): Wilson, J. Gerald
CORPORATE SOURCE: Biomed. Health Program, Aust. Nucl. Sci. Technol. Organ., Menai, 2234, Australia
SOURCE: Pigment Cell Research (1989), 2(4), 297-303
CODEN: PCREEA; ISSN: 0893-5785
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 138714-27-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 138714-27-9 CAPLUS
CN Furo[2,3-d]pyrimidine-2(1H)-thione, 6-methyl- (CA INDEX NAME)



GI



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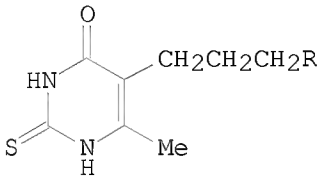


III

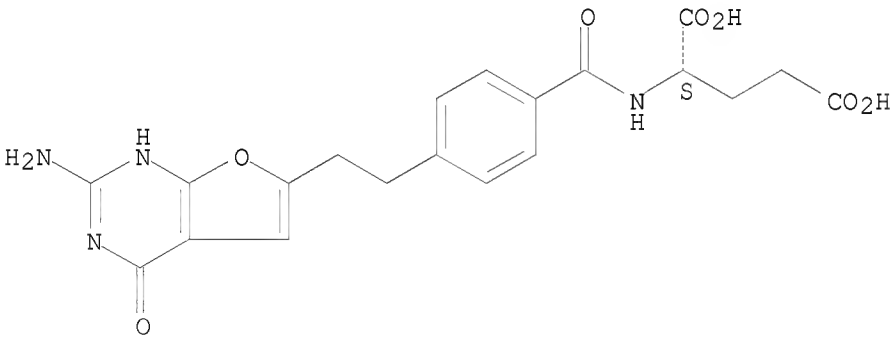
AB Thiouracil is selectively incorporated into melantoic murine melanomas during melanin synthesis. This selectvity makes thiouracil a likely vehicle for boron in the diagnosis and therapy of melanoma. Therefore, alkynylthiouracils I (R = CH2C.tplbond.CH, CH2CH2CH2C.tplbond.CH, R1 = Me; R = H, R1 = CH2CH2C.tplbond.CH) were synthesized and I (R = CH2CH2CH2C.tplbond.CH, R1 = Me) (II) was converted to carboranylthiouracil III (R = carboranyl). Thus, cyclization of MeCOCH(CO2Et)CH2CH2CH2C.tplbond.CH with thiourea in EtOH/Na gave 72% II. II was silylated and reacted with B10H12(MeCN)2 to give III (R = carboranyl).

L5 ANSWER 24 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:608603 CAPLUS
DOCUMENT NUMBER: 115:208603
ORIGINAL REFERENCE NO.: 115:35621a,35624a
TITLE: Preparation of N-[[(pyrrolopyrimidinyl)alkyl]benzoyl]glutamates and analogs as antitumor agents
INVENTOR(S): Akimoto, Hiroshi; Ootsu, Koichiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 438261	A2	19910724	EP 1991-300266	19910115
EP 438261	A3	19920226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2034292	A1	19910717	CA 1991-2034292	19910116
JP 05078362	A	19930330	JP 1991-196173	19910116

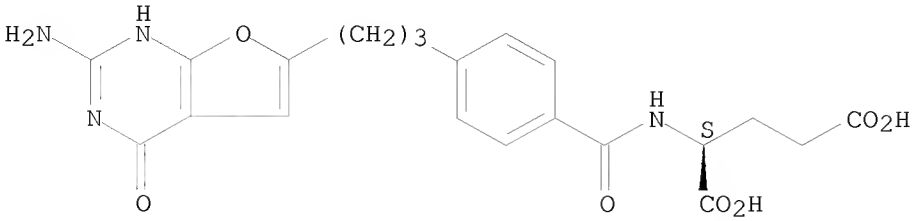
PRIORITY APPLN. INFO.: JP 1990-7962 A 19900116
OTHER SOURCE(S): MARPAT 115:208603
IT 136784-65-1P 136784-66-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antitumor agent)
RN 136784-65-1 CAPLUS
CN L-Glutamic acid, N-[4-[2-(2-amino-1,4-dihydro-4-oxofuro[2,3-d]pyrimidin-6-yl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 136784-66-2 CAPLUS
CN L-Glutamic acid, N-[4-[3-(2-amino-1,4-dihydro-4-oxofuro[2,3-d]pyrimidin-6-yl)propyl]benzoyl]- (9CI) (CA INDEX NAME)

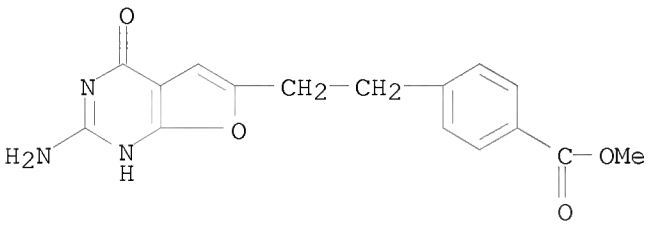
Absolute stereochemistry.



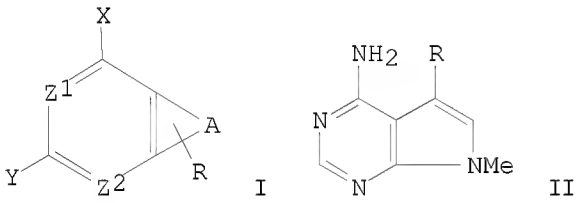
IT 136784-94-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antitumor agents)

RN 136784-94-6 CAPLUS

CN Benzoic acid, 4-[2-(2-amino-3,4-dihydro-4-oxofuro[2,3-d]pyrimidin-6-yl)ethyl]-, methyl ester (CA INDEX NAME)

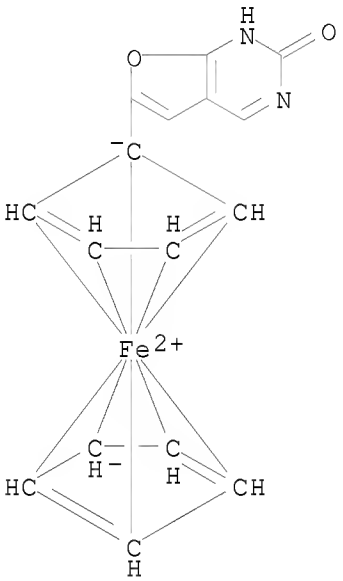


GI



AB Title compds. [I; A = atoms to complete a 5-membered ring; R = ZBCONHCH(CO2R1)CH2CH2CO2R2; B = (un)substituted divalent cyclic or chain group (sic); R1, R2 = ester residue, cation; X = NH2, OH, SH; Y = H halo, (un)substituted OH, NH2, SH, hydrocarbyl; Z = (heteroatom-interrupted) (un)substituted (CH2)2-5; 1 of Z1, Z2 = N and the other = N or CH] were prepared as antitumor agents (no data). Thus, pyrrolopyrimidine II (R = cyano) was heated 1.5 h at 75-80° with Raney Ni in HCO2H and the product (II; R = CHO) was condensed with Ph3P+CH2C6H4(CO2Me)-4 Br- to give, after hydrogenation, II [R = CH2CH2C6H4(CO2Me)-4] which was saponified and the product condensed with di-Et glutamate to give II [R = CH2CH2C6H4CONHCH(CO2Et)CH2CH2CO2Et].

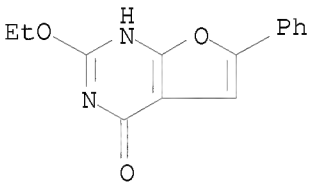
L5 ANSWER 25 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:559621 CAPLUS
DOCUMENT NUMBER: 115:159621
ORIGINAL REFERENCE NO.: 115:27351a,27354a
TITLE: Synthesis, characterization, and cytotoxic properties of the first metallocenonucleosides
AUTHOR(S): Meunier, P.; Ouattara, I.; Gautheron, B.; Tirouflet, J.; Camboli, D.; Besancon, J.
CORPORATE SOURCE: Fac. Sci., Univ. Bourgogne, Dijon, 21000, Fr.
SOURCE: European Journal of Medicinal Chemistry (1991), 26(3), 351-62
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 115:159621
IT 136292-09-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136292-09-6 CAPLUS
CN Ferrocene, (1,2-dihydro-2-oxofuro[2,3-d]pyrimidin-6-yl)- (9CI) (CA INDEX NAME)



AB The synthesis of the first metallocenonucleosides (nucleosides containing a metallocenic moiety in their framework) of the formula Ns-C.tplbond.C-Fc, Ns-CH=CH-Fc and Ns-CH2CH2-Fc (Ns = uridine, deoxyuridine, adenosine; FC = C5H4FeC5H5) has been conducted in the presence of Pd salt according to the following routes: i) reaction of a 5-chloromercuri-nucleoside on ethynylferrocene; ii) hydrozirconation (Schwartz, reagent) of ethynylferrocene followed by the reaction of a 5-halogeno nucleoside; iii) direct coupling between ethynylferrocene and a 5-halogeno nucleoside. The same procedures allowed the synthesis of the corresponding metallocenonucleobases Nb-C.tplbond.C-Fc, Nb-CH=CH-Fc and NbCH2CH2Fc (Nb = uracil, cytosine, adenine) which have also been prepared by acid solvolysis of the nucleoside precursors. The compds. obtained were purified by HPLC

technique and were characterized by 1H NMR and mass spectrometry. The cytotoxicity in vitro has been studied. Only modest activity has been observed

L5 ANSWER 26 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:122259 CAPLUS
DOCUMENT NUMBER: 114:122259
ORIGINAL REFERENCE NO.: 114:20825a,20828a
TITLE: Some reactions with ω-bromoacetophenone: synthesis of new pyrazole, pyrrole and furan derivatives
AUTHOR(S): Abdelrazek, Fathy M.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1990), 332(4), 479-83
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:122259
IT 132629-72-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 132629-72-2 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-ethoxy-6-phenyl- (CA INDEX NAME)



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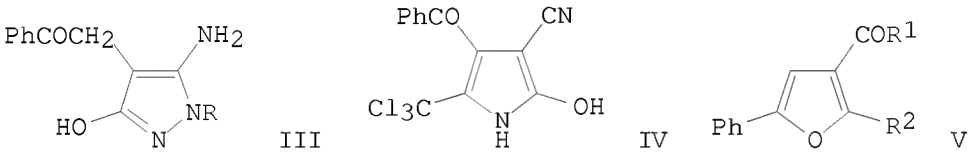
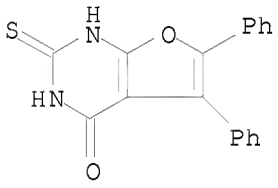


AB Phenacyl bromide (I) reacts with Et cyanoacetate in the presence of piperidine to afford BrCH2CPh:C(CO2Et)C(NH2):C(CN)CO2Et. Et phenacylcyanoacetate (II) was obtained by reaction of I with NaCH(CN)CO2Et. II reacts with hydrazines and trichloroacetonitrile to afford the pyrazoles III (R = H, Ph) and the pyrroles IV, resp. Refluxing II in acetic/sulfuric acid mixture afforded the furan derivs. V (R1 = OEt, R2 = NH2; R1 = NH2, R2 = OH).

L5 ANSWER 27 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:591272 CAPLUS
DOCUMENT NUMBER: 113:191272
ORIGINAL REFERENCE NO.: 113:32381a,32384a
TITLE: Synthesis of 4-oxo-5,6-diphenyl-1,2,3,4-tetrahydro-2-thioxofuro[2,3-d]pyrimidines
AUTHOR(S): Ahluwalia, V. K.; Tyagi, Renu; Kaur, Mohinder
CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, 110 007, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990), 29B(6), 566-7
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:191272
IT 130231-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 130231-78-6 CAPLUS
CN Furo[2,3-d]pyrimidin-4(1H)-one, 2,3-dihydro-5,6-diphenyl-2-thioxo- (CA INDEX NAME)



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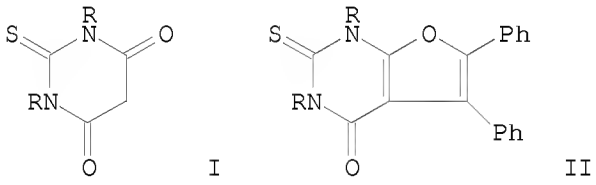
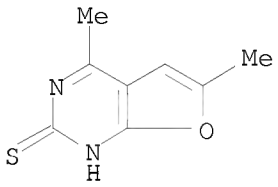
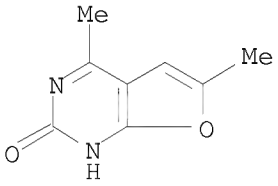


AB Condensation of thiobarbituric acids, e.g. I (R = H, Ph, α-MeC6H4, 3-MeC6H4, 4-MeC6H4, 4-ClC6H4, 4-MeOC6H4), with benzoin in the presence of 4-MeC6H4SO3H gave title compds. II.

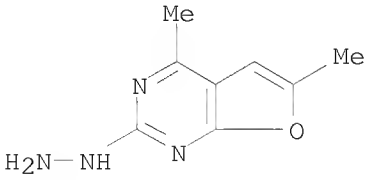
L5 ANSWER 28 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:211919 CAPLUS
DOCUMENT NUMBER: 110:211919
ORIGINAL REFERENCE NO.: 110:35158h,35159a
TITLE: Pyrimidine derivatives. LIX. Synthesis and mass spectra of some furo(2,3-d)pyrimidines
AUTHOR(S): Gapoyan, A. S.; Mirzoyan, V. S.; Khachatryan, V. E.; Melik-Ogandzhanyan, R. G.
CORPORATE SOURCE: Inst. Toukoi Org. Khim., Yerevan, USSR
SOURCE: Armyanskii Khimicheskii Zhurnal (1988), 41(6), 339-46
CODEN: AYKZAN; ISSN: 0515-9628
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 110:211919
IT 22727-33-9P 22727-41-9P 120455-71-2P
120455-78-9P 120455-79-0P 120455-80-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and mass spectrum of)
RN 22727-33-9 CAPLUS
CN Furo[2,3-d]pyrimidine-2(1H)-thione, 4,6-dimethyl- (CA INDEX NAME)



RN 22727-41-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 4,6-dimethyl- (CA INDEX NAME)

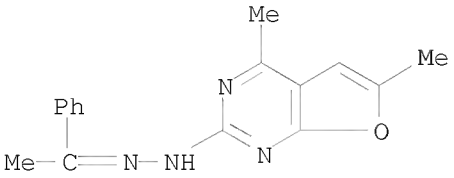


RN 120455-71-2 CAPLUS
CN Furo[2,3-d]pyrimidine, 2-hydrazinyl-4,6-dimethyl- (CA INDEX NAME)

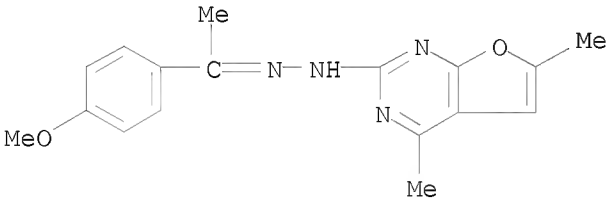


RN 120455-78-9 CAPLUS

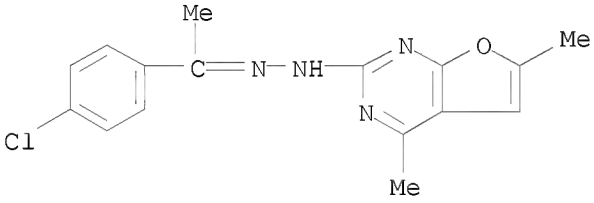
CN Ethanone, 1-phenyl-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



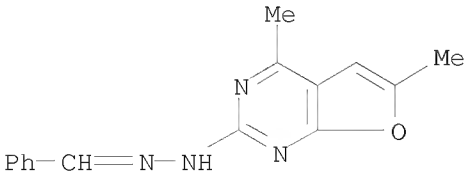
RN 120455-79-0 CAPLUS
CN Ethanone, 1-(4-methoxyphenyl)-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



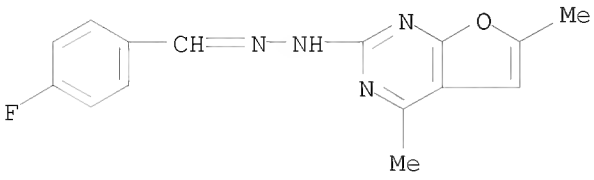
RN 120455-80-3 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



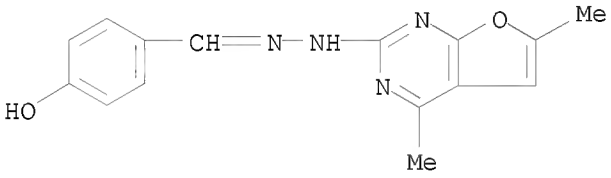
IT 120455-72-3P 120455-73-4P 120455-74-5P
120455-75-6P 120455-76-7P 120455-77-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120455-72-3 CAPLUS
CN Benzaldehyde, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



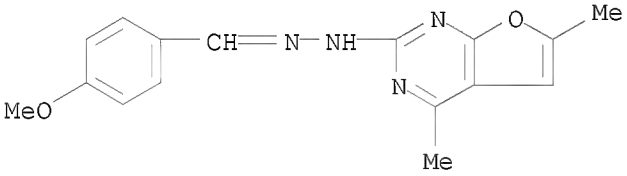
RN 120455-73-4 CAPLUS
CN Benzaldehyde, 4-fluoro-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



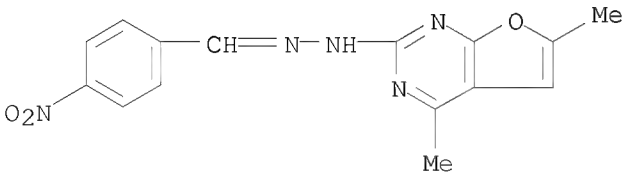
RN 120455-74-5 CAPLUS
CN Benzaldehyde, 4-hydroxy-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



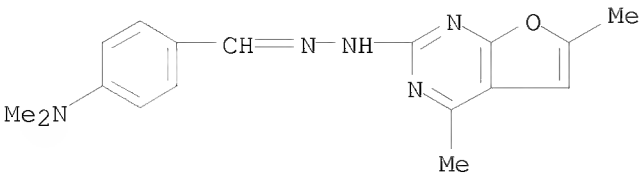
RN 120455-75-6 CAPLUS
CN Benzaldehyde, 4-methoxy-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



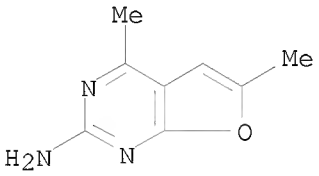
RN 120455-76-7 CAPLUS
CN Benzaldehyde, 4-nitro-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



RN 120455-77-8 CAPLUS
CN Benzaldehyde, 4-(dimethylamino)-, 2-(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)hydrazone (CA INDEX NAME)



IT 22727-43-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, mass spectrum and reactions of)
RN 22727-43-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4,6-dimethyl- (CA INDEX NAME)



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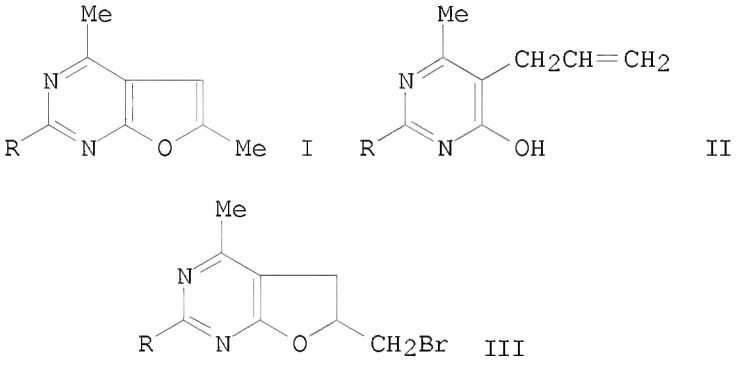


AB The main mass-spectral fragmentation paths of title compds. I (R = H2N, MeS, HS, HO, Cl, MeO, Me2N, H2NNH) involved (1) loss of H and (2) loss of RCN followed by recyclization. I were prepared by bromination of allylpyrimidinols II (R = H2N, MeS) to give dihydrofuropyrimidines III, conversion of III to the corresponding I, and further reactions of I (R = H2N).

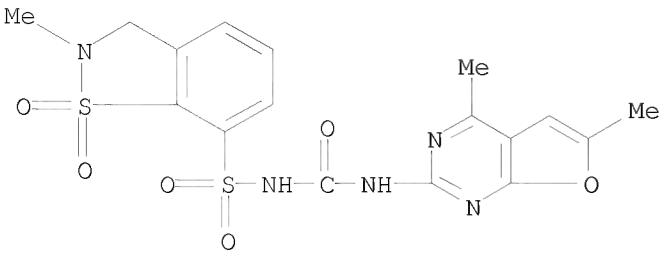
L5 ANSWER 29 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:591952 CAPLUS
DOCUMENT NUMBER: 101:191952
ORIGINAL REFERENCE NO.: 101:29095a,29098a
TITLE: Phenyl-substituted sulfonamides
INVENTOR(S): Pasteris, Robert James
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 260 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 107979	A1	19840509	EP 1983-306595	19831028
EP 107979	B1	19881012		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4586950	A	19860506	US 1983-533341	19830920
AU 8320659	A	19840503	AU 1983-20659	19831027
AU 593207	B2	19900208		
BR 8305964	A	19840821	BR 1983-5964	19831027
ZA 8308015	A	19850626	ZA 1983-8015	19831027
CA 1239640	A1	19880726	CA 1983-439829	19831027
JP 59095278	A	19831028	JP 1983-201145	19831028
DK 8304958	A	19840430	DK 1983-4958	19831028
HU 32706	A2	19840920	HU 1983-3720	19831028
HU 194019	B	19880128		
AT 37770	T	19881015	AT 1983-306595	19831028
IL 70081	A	19881115	IL 1983-70081	19831028
SU 1676437	A3	19910907	SU 1983-3656772	19831028
US 4620870	A	19861104	US 1985-709340	19850307
CA 1239641	A2	19880726	CA 1986-500783	19860520
CA 1240995	A2	19880823	CA 1986-500782	19860520
CA 1239642	A2	19880726	CA 1986-500784	19860522
US 4741761	A	19880503	US 1986-878216	19860625
US 4867781	A	19890919	US 1988-148995	19880127

PRIORITY APPLN. INFO.:

US 1982-437632	A	19821029
US 1983-499443	A	19830531
US 1983-533341	A	19830920
CA 1983-439829	A3	19831027
EP 1983-306595	A	19831028
US 1985-709340	A3	19850307
US 1986-878216	A3	19860625

OTHER SOURCE(S): CASREACT 101:191952; MARPAT 101:191952
IT 92822-92-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)
RN 92822-92-9 CAPLUS
CN 1,2-Benzisothiazole-7-sulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (CA INDEX NAME)



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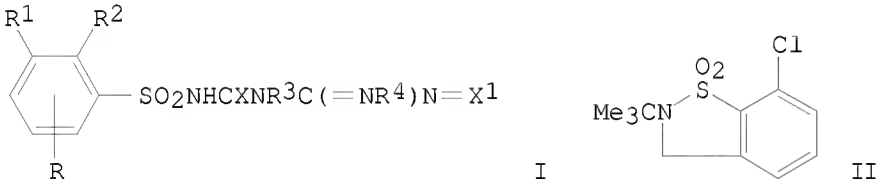
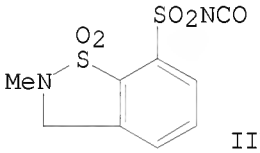
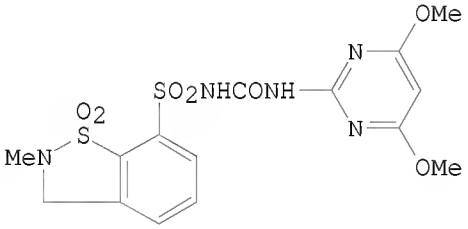


I

II



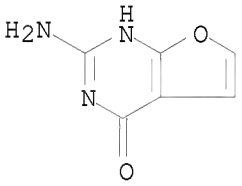
III



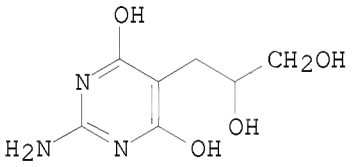
IV

AB Aryl- and heteroarylsulfonylureas I [R = H, Br, Cl, F, Me, MeO, MeS, F3C, F2CHO; R1R2 = atoms required to complete an (un)substituted 6-membered carbocycle or heterocycle containing O, S, and/or N; R3 = H, Me; R4X1 = atoms required to complete an (un)substituted pyrimidine, s-triazine, or 1,2,4-triazole ring; X = O, S] were prepared Thus, 2-ClC6H4SO2NHCMe3 was lithiated and cyclocondensed with DMF to give benzisothiazole II. This was converted in 8 steps to benzisothiazolesulfonyl isocyanate III, which was condensed with 2-amino-4,6-dimethoxypyrimidine to give sulfonylurea IV. Selected I are effective herbicides at 50-250 g/ha.

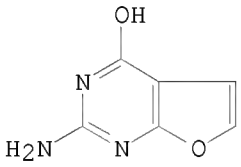
L5 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:591843 CAPLUS
DOCUMENT NUMBER: 101:191843
ORIGINAL REFERENCE NO.: 101:29071a,29074a
TITLE: Synthesis of some substituted 5-(2,3-dihydroxypropyl)pyrimidines and their periodate oxidation
AUTHOR(S): Wang, Pushan; Ye, Xiulin; Zhang, Pang
CORPORATE SOURCE: Dep. Chem., Univ. Beijing, Beijing, Peop. Rep. China
SOURCE: Huaxue Xuebao (1984), 42(7), 722-6
CODEN: HHHPA4; ISSN: 0567-7351
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 101:191843
IT 92920-49-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 92920-49-5 CAPLUS
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-amino- (CA INDEX NAME)



GI



II



III

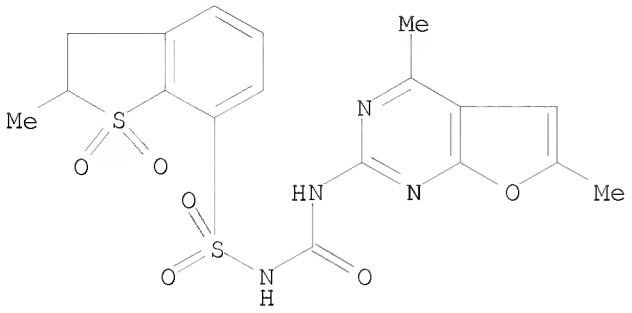
AB Et 4-hydroxymethylbutyrolactone-2-carboxylate, 2-acetyl-4-hydroxymethylbutyrolactone (I), their 5-O-benzyl derivs., and di-Et (2,3-O-isopropylidenedioxypropyl)malonate and its Et acetoacetate analog were synthesized. They condensed with guanidine to give various substituted 5-(2,3-dihydroxypropyl)pyrimidines, but only I could condense with thiourea. Modification in side chain structure and conversion of the lactone ring to acyclic structure did not alter the situation. Periodate oxidation of (dihydroxypropyl)pyrimidine II resulted in cyclization to give furopyrimidine derivative III.

L5 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:558472 CAPLUS
DOCUMENT NUMBER: 99:158472
ORIGINAL REFERENCE NO.: 99:24301a,24304a
TITLE: Herbicidal sulfonamides
INVENTOR(S): Rorer, Morris Padgett; Pasteris, Robert James
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 226 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

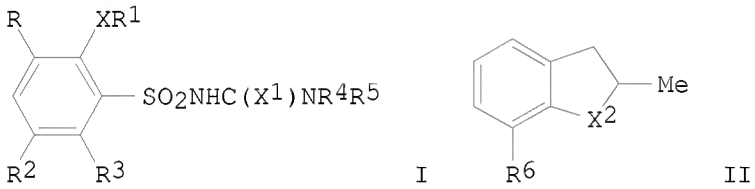
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 79683	A2	19830525	EP 1982-305498	19821015
EP 79683	A3	19831116		
EP 79683	B1	19870506		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4492596	A	19850108	US 1982-406191	19820811
DK 8204569	A	19830417	DK 1982-4569	19821014
AU 8289354	A	19830421	AU 1982-89354	19821014
AU 591450	B2	19891207		
BR 8206012	A	19830913	BR 1982-6012	19821014
ZA 8207525	A	19840530	ZA 1982-7525	19821014
CA 1239404	A1	19880719	CA 1982-413385	19821014
CA 1240994	A1	19880823	CA 1982-413400	19821014
JP 58079992	A	19830513	JP 1982-180058	19821015
HU 30866	A2	19840428	HU 1982-3290	19821015
HU 192121	B	19870528		
PL 138705	B1	19861031	PL 1982-238644	19821015
AT 26980	T	19870515	AT 1982-305498	19821015
PL 142685	B1	19871130	PL 1982-249406	19821015
IL 66998	A	19880731	IL 1982-66998	19821015
IL 80204	A	19880731	IL 1982-80204	19821015
US 4514211	A	19850430	US 1983-489099	19830427
US 4582527	A	19860415	US 1984-641579	19840816
US 4720298	A	19880119	US 1986-819670	19860117

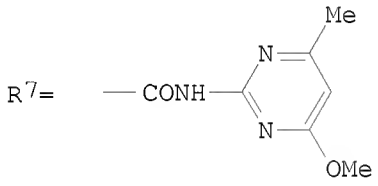
PRIORITY APPLN. INFO.:	US 1981-312183	A	19811016
	US 1982-406191	A	19820811
	US 1982-410993	A	19820827
	EP 1982-305498	A	19821015
	IL 1982-66998	A	19821015
	US 1984-641579	A3	19840816

OTHER SOURCE(S): CASREACT 99:158472; MARPAT 99:158472
IT 87254-49-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)
RN 87254-49-7 CAPLUS
CN Benzo[b]thiophene-7-sulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (CA INDEX NAME)



GI



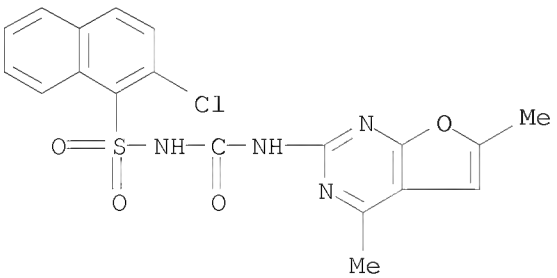


AB Arylsulfonylureas I [RR1 = (un)substituted alkanediyl, alkenediyl; R2 = H, Cl, Me, CF3, OMe, Br; R3 = H, Me, OMe, Cl, Br, NO2, (un)substituted alkoxycarbonyl, alkylsulfonyl, alkylsulfonyloxy, aminosulfonyl; R4 = H, Me; R5 = substituted triazinyl, pyrimidinyl; X = O, S, SO2; X1 = S, O] were prepared Thus H2C:CHCH2SC6H4NH2-2 was pyrolyzed to give benzothiophene II (X2 = S, R6 = NH2), which was protected using Ac2O and treated with H2O2 to give II (X2 = SO2, R6 = NHAc). The latter compound was hydrolyzed and treated with NaNO2, CuCl2, and SO2 to give II (X2 = SO2, R6 = SO2Cl), which gave II (R6 = SO2NH2) on reaction with NH3. The latter compound was condensed with R7OMe to give II (X2 = SO2, R6 = SO2NHR7)(III). III gave 100% kill of Cyperus rotundus at 0.05 kg/ha pre- and postemergent.

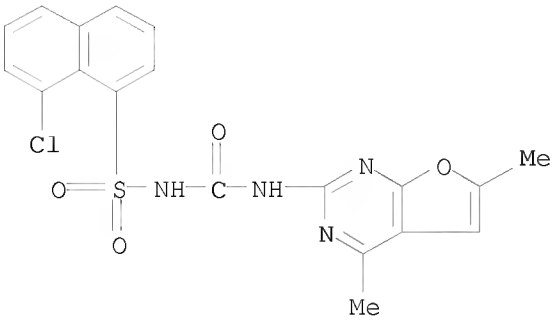
L5 ANSWER 32 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:160745 CAPLUS
DOCUMENT NUMBER: 98:160745
ORIGINAL REFERENCE NO.: 98:24399a,24402a
TITLE: Herbicidal sulfonamides
INVENTOR(S): Levitt, George
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 98,724, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4370479	A	19830125	US 1980-184371	19800915
ZA 8006650	A	19820630	ZA 1980-6650	19801029
BR 8007673	A	19810609	BR 1980-7673	19801125
CA 1157021	A1	19831115	CA 1980-365589	19801127
AU 8064921	A	19810604	AU 1980-64921	19801128
AU 535593	B2	19840329		
EP 30141	A2	19810610	EP 1980-304286	19801128
EP 30141	A3	19810819		
EP 30141	B1	19840620		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 56087570	A	19810716	JP 1980-166876	19801128
JP 61029345	B	19860705		
AT 8004	T	19840715	AT 1980-304286	19801128
HU 33366	A2	19841128	HU 1980-2841	19801128
US 4452627	A	19840605	US 1982-421415	19820922
US 4460404	A	19840717	US 1982-421416	19820922

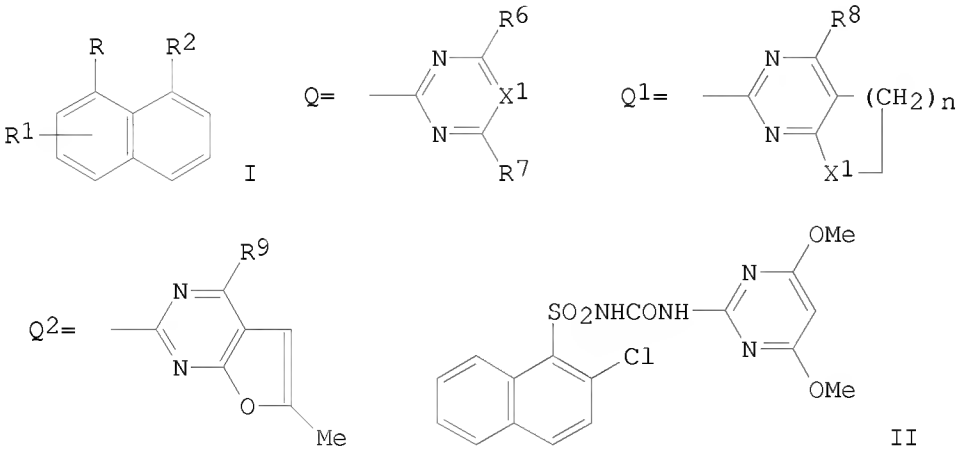
PRIORITY APPLN. INFO.: US 1979-98724 A2 19791130
US 1980-184371 A 19800915
EP 1980-304286 A 19801128
OTHER SOURCE(S): CASREACT 98:160745
IT 79163-79-4P 79163-86-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79163-79-4 CAPLUS
CN 1-Naphthalenesulfonamide, 2-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



RN 79163-86-3 CAPLUS
CN 1-Naphthalenesulfonamide, 8-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



GI

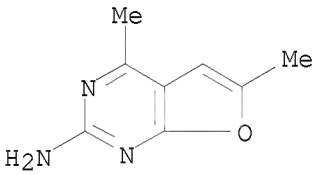


AB The title compds. I [R = Cl, F, Br, NO2, Me, diallylaminosulfonyl, MeONMeSO2, alkylsulfonyl, alkoxysulfonyl, alkoxy, alkylsulfonyloxy, F3CSO3; R1 = H, F, Cl, Br, MeO, O2N; R2 = SO2NHC(:X)NR3R4; SO2N:C(XR5)NHR4 [X = O, S, R3 = H, Me; R4 = Q (R6 = Me, MeO, EtO, R7 = H, (un)substituted alkyl, (un)substituted alkoxy, alkenyloxy, substituted amino, X1 = H, CH), Q1 (R8 = H, Me, MeO; X2 = O, CH2, n = 1, 2), Q2 (R9 = H, Me), R5 = alkyl]] were prepared Thus, 2-amino-4,6-dimethoxypyrimidine was treated with 2-chloro-1-naphthalenesulfonyl isocyanate to give the sulfonamide II. At 0.4 kg/ha II completely controlled cocklebur in postemergence application.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:4570 CAPLUS
DOCUMENT NUMBER: 98:4570
ORIGINAL REFERENCE NO.: 98:821a,824a
TITLE: Sulfonylurea N-oxides
INVENTOR(S): Tseng, Chi Ping
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 222 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 57546	A2	19820811	EP 1982-300353		19820125
EP 57546	A3	19821103			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
BR 8200353	A	19821123	BR 1982-353		19820122
DK 8200319	A	19820727	DK 1982-319		19820125
AU 8279805	A	19820805	AU 1982-79805		19820125
JP 57146764	A	19820910	JP 1982-9029		19820125
PRIORITY APPLN. INFO.:			US 1981-228706	A	19810126
			US 1981-325121	A	19811130

OTHER SOURCE(S): MARPAT 98:4570
IT 22727-43-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22727-43-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4,6-dimethyl- (CA INDEX NAME)



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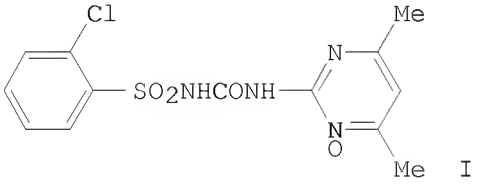


I

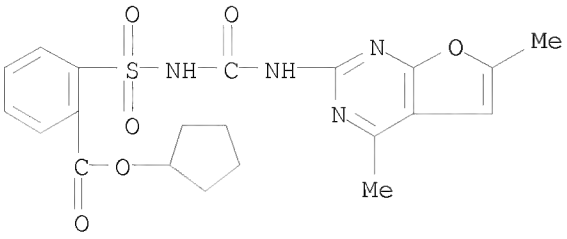
AB RSO2NHCONR1R2 (R = substituted phenyl, pyridyl, thienyl, 1-naphthyl; R1 = substituted pyrimidinyl, triazinyl, furopyrimidinyl, pyranopyrimidinyl; R2 = H, Me) (30 compds.) were prepared Thus, 4,6-dimethyl-2-pyrimidinamine was oxidized to the 1-oxide and treated with 2-ClC6H4SO2NCO to give I which at

0.4 kg/ha pre- were post-emergence gave > 90% control of various weeds.

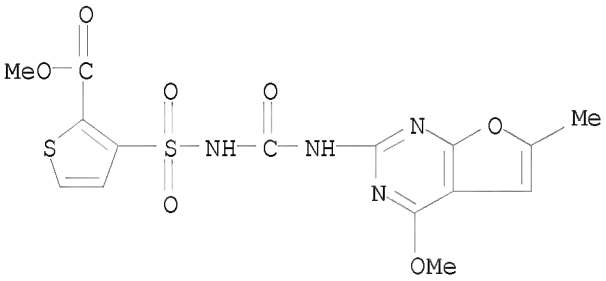
L5 ANSWER 34 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:217874 CAPLUS
DOCUMENT NUMBER: 96:217874
ORIGINAL REFERENCE NO.: 96:36009a,36012a
TITLE: Herbicidal sulfonamides
INVENTOR(S): Zimmerman, William Thomas
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 154 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 46677	A2	19820303	EP 1981-303837	19810821
EP 46677	A3	19820922		
EP 46677	B1	19850724		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4487626	A	19841211	US 1981-286159	19810727
AU 8174279	A	19820225	AU 1981-74279	19810818
AU 545336	B2	19850711		
BR 8105314	A	19820504	BR 1981-5314	19810820
ZA 8105765	A	19830427	ZA 1981-5765	19810820
CA 1204115	A1	19860506	CA 1981-384240	19810820
DK 8103709	A	19820223	DK 1981-3709	19810821
JP 57070891	A	19820501	JP 1981-130388	19810821
AT 14432	T	19850815	AT 1981-303837	19810821
PRIORITY APPLN. INFO.:			US 1980-180482 A	19800822
			US 1981-286159 A	19810727
			EP 1981-303837 A	19810821

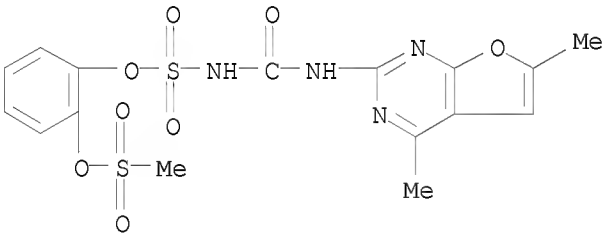
OTHER SOURCE(S): CASREACT 96:217874
IT 81887-03-8P 81887-08-3P 81887-09-4P
81887-10-7P 81887-11-8P 81887-12-9P
81887-13-0P 81887-14-1P 81887-15-2P
81887-16-3P 81887-17-4P 81887-18-5P
81887-19-6P 81887-24-3P 81887-26-5P
81887-27-6P 81887-29-8P 81887-30-1P
81887-31-2P 81887-32-3P 81887-33-4P
81887-34-5P 81887-35-6P 81887-36-7P
81887-37-8P 81887-38-9P 81887-39-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)
RN 81887-03-8 CAPLUS
CN Benzoic acid, 2-[[[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-, cyclopentyl ester (CA INDEX NAME)



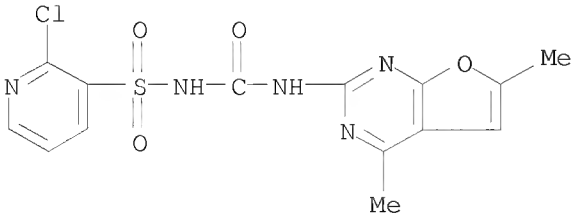
RN 81887-08-3 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



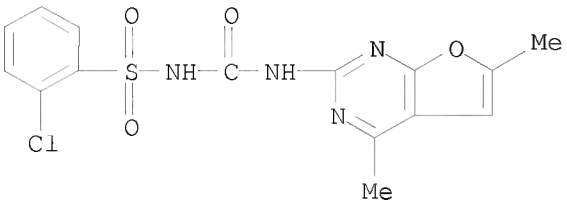
RN 81887-09-4 CAPLUS
CN Sulfamic acid, [[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-, 2-[(methylsulfonyl)oxy]phenyl ester (9CI) (CA INDEX NAME)



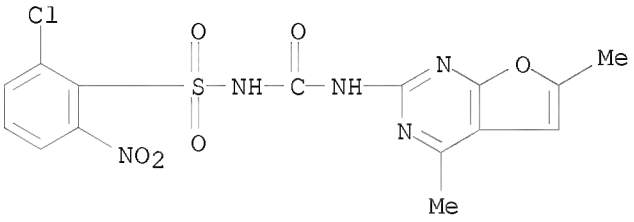
RN 81887-10-7 CAPLUS
CN 3-Pyridinesulfonamide, 2-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



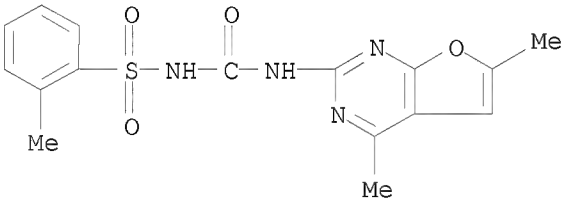
RN 81887-11-8 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



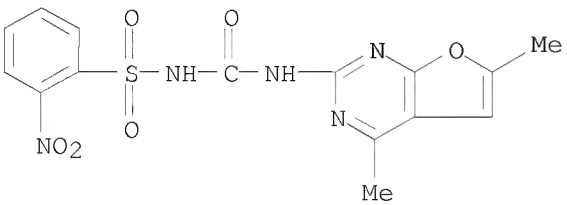
RN 81887-12-9 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-6-nitro- (CA INDEX NAME)



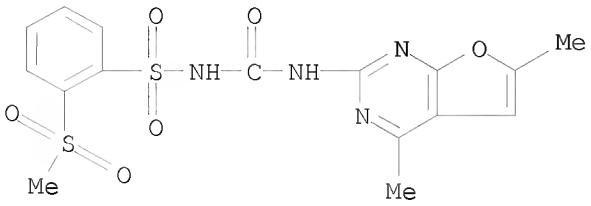
RN 81887-13-0 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-methyl- (CA INDEX NAME)



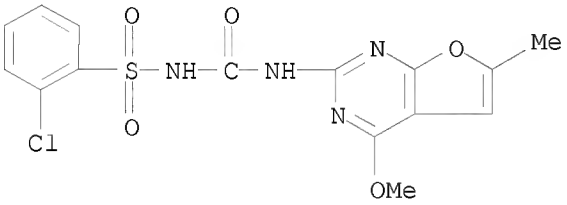
RN 81887-14-1 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-nitro- (CA INDEX NAME)



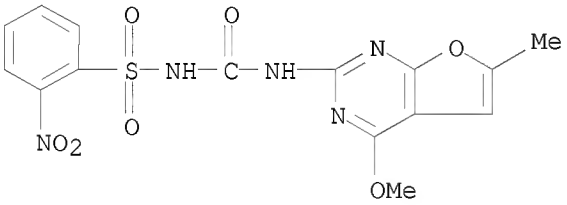
RN 81887-15-2 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-(methylsulfonyl)- (CA INDEX NAME)



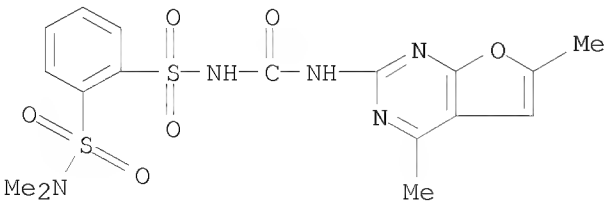
RN 81887-16-3 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



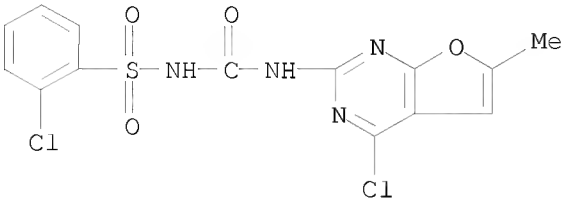
RN 81887-17-4 CAPLUS
CN Benzenesulfonamide, N-[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-nitro- (CA INDEX NAME)



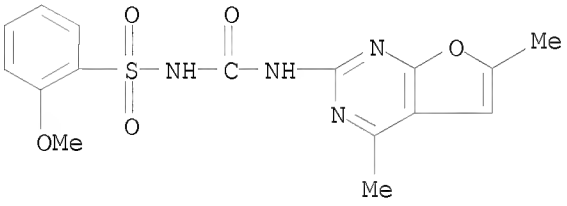
RN 81887-18-5 CAPLUS
CN 1,2-Benzenedisulfonamide, N2-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-N1,N1-dimethyl- (CA INDEX NAME)



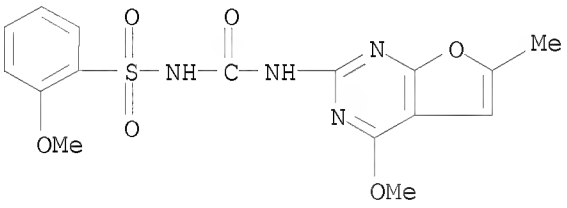
RN 81887-19-6 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[(4-chloro-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



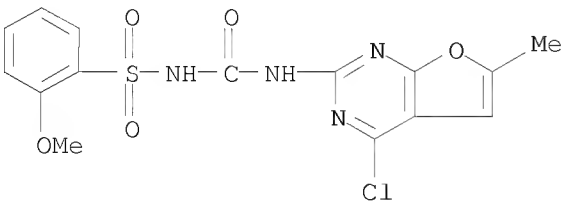
RN 81887-24-3 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-methoxy- (CA INDEX NAME)



RN 81887-26-5 CAPLUS
CN Benzenesulfonamide, 2-methoxy-N-[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)

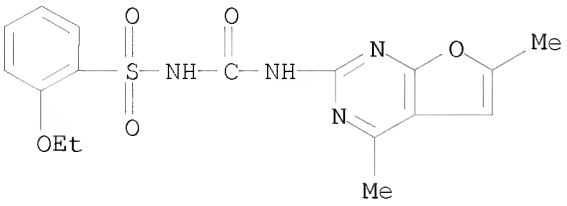


RN 81887-27-6 CAPLUS
CN Benzenesulfonamide, N-[[(4-chloro-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-methoxy- (CA INDEX NAME)

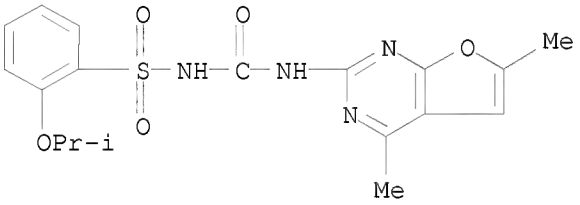


RN 81887-29-8 CAPLUS

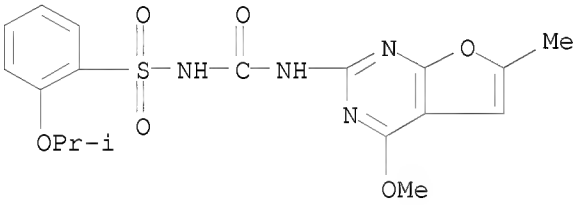
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-ethoxy- (CA INDEX NAME)



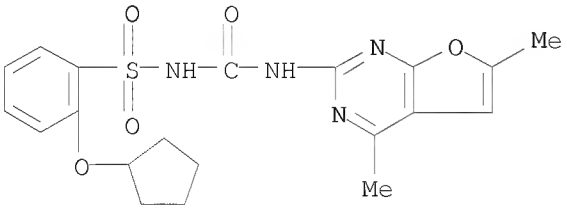
RN 81887-30-1 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-(1-methylethoxy)- (CA INDEX NAME)



RN 81887-31-2 CAPLUS
CN Benzenesulfonamide, N-[[(4-methoxy-6-methylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-(1-methylethoxy)- (CA INDEX NAME)

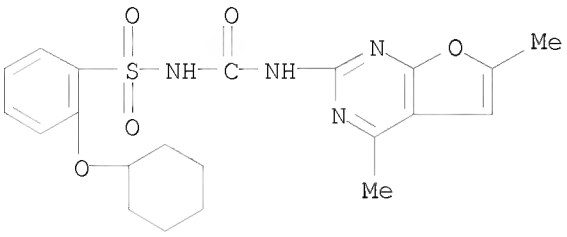


RN 81887-32-3 CAPLUS
CN Benzenesulfonamide, 2-(cyclopentyloxy)-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)

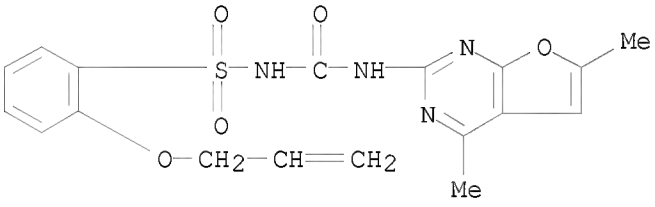


RN 81887-33-4 CAPLUS
CN Benzenesulfonamide, 2-(cyclohexyloxy)-N-[[(4,6-dimethylfuro[2,3-

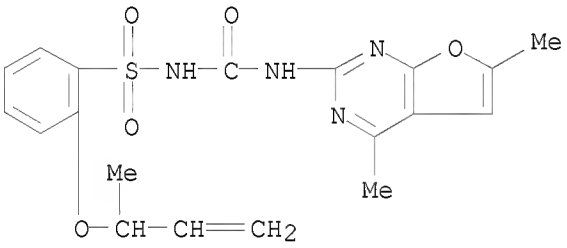
d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



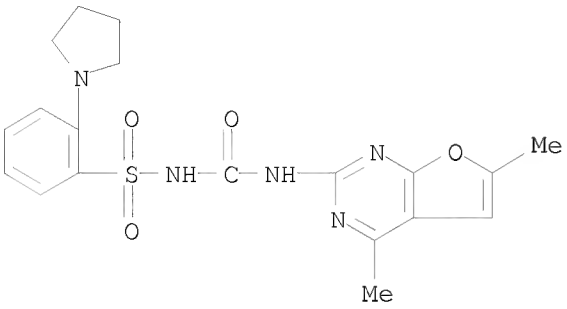
RN 81887-34-5 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-(2-propen-1-yloxy)- (CA INDEX NAME)



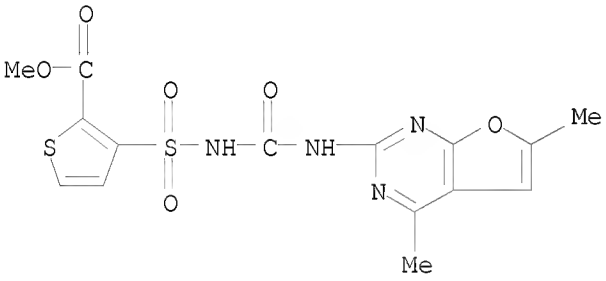
RN 81887-35-6 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-[(1-methyl-2-propen-1-yl)oxy]- (CA INDEX NAME)



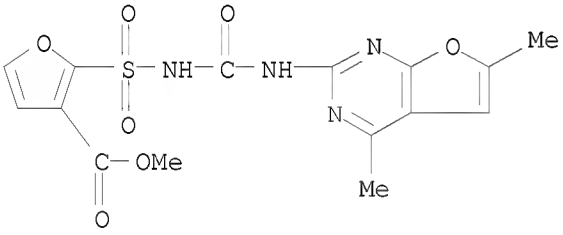
RN 81887-36-7 CAPLUS
CN Benzenesulfonamide, N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



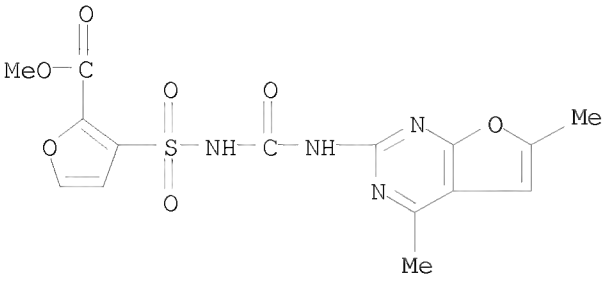
RN 81887-37-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



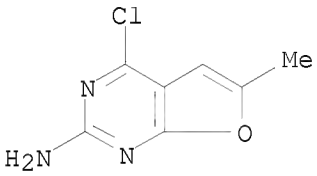
RN 81887-38-9 CAPLUS
CN 3-Furancarboxylic acid, 2-[[[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



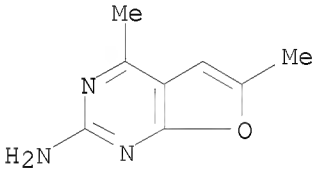
RN 81887-39-0 CAPLUS
CN 2-Furancarboxylic acid, 3-[[[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



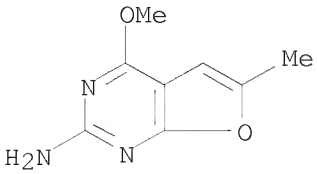
IT 81887-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methoxylation of)
RN 81887-06-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4-chloro-6-methyl- (CA INDEX NAME)



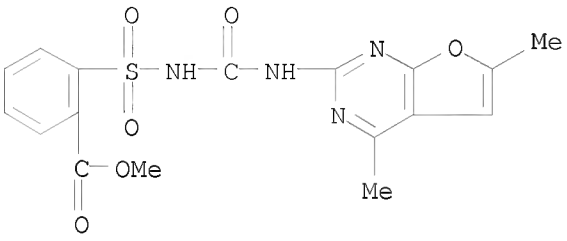
IT 22727-43-1P 81887-07-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with sulfonyl isocyanates)
RN 22727-43-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4,6-dimethyl- (CA INDEX NAME)



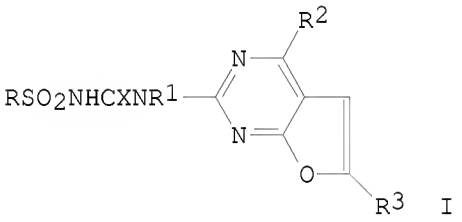
RN 81887-07-2 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4-methoxy-6-methyl- (CA INDEX NAME)



IT 81887-02-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and transesterification of)
RN 81887-02-7 CAPLUS
CN Benzoic acid, 2-[[[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



GI

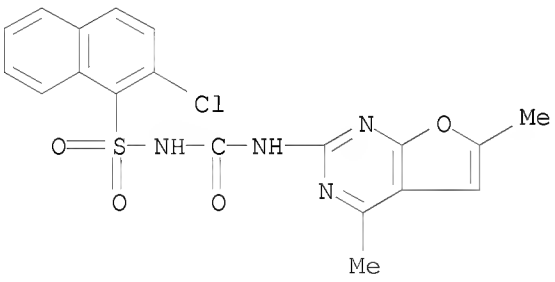


AB Sulfonylureidofuropyrimidines I (X = O, S; R = substituted Ph, phenoxy, pyridyl, furyl, thienyl; R1 = H, Me; R2 = Me, Et, Cl, OMe, OEt, NMe2, SMe; R3 = H, Me, Et) were prepared Thus, MeCOCH(CO2Et)CH2C.tplbond.CH was treated with guanidine carbonate to give 2-amino-4,6-dimethylfuro[2,3-d]pyrimidine which was treated with 2-MeO2CC6H4SO2NCO to give I (R = 2-MeO2CC6H4, R1 = H, R2 = R3 = Me, X = O, II). At 0.4 kg/ha II gave preemergence total control of, e.g., nutsedge and barnyard grass.

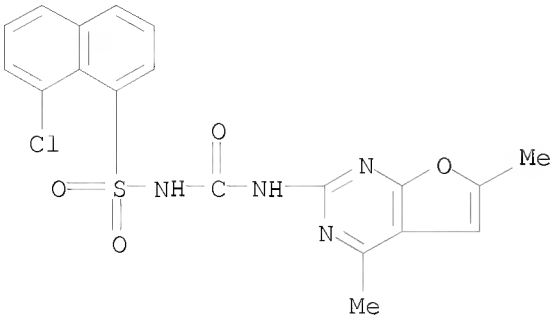
L5 ANSWER 35 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:569225 CAPLUS
DOCUMENT NUMBER: 95:169225
ORIGINAL REFERENCE NO.: 95:28293a,28296a
TITLE: Herbicidal ureas and isoureas, compositions and use thereof, intermediates therefor and preparation of said intermediates
INVENTOR(S): Levitt, George
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 30141	A2	19810610	EP 1980-304286	19801128
EP 30141	A3	19810819		
EP 30141	B1	19840620		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4370479	A	19830125	US 1980-184371	19800915
AT 8004	T	19840715	AT 1980-304286	19801128

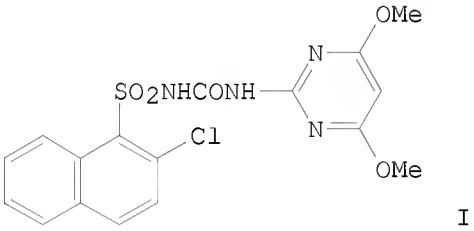
PRIORITY APPLN. INFO.: US 1979-98724 A 19791130
US 1980-184371 A 19800915
EP 1980-304286 A 19801128
OTHER SOURCE(S): MARPAT 95:169225
IT 79163-79-4P 79163-86-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79163-79-4 CAPLUS
CN 1-Naphthalenesulfonamide, 2-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



RN 79163-86-3 CAPLUS
CN 1-Naphthalenesulfonamide, 8-chloro-N-[[(4,6-dimethylfuro[2,3-d]pyrimidin-2-yl)amino]carbonyl]- (CA INDEX NAME)



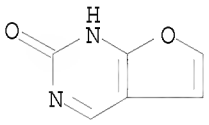
GI



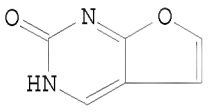
I

AB Azinyl(naphthylsulfonyl)ureas, -thioureas, and -S-methylisothioureas (25 compds.) were prepared Thus I was obtained by treating 2-chloro-1-naphthalenesulfonyl isocyanate with 2-amino-4,6-dimethoxypyrimidine. I was herbicidal at 0.04 kg/ha both pre- and post-emergence.

L5 ANSWER 36 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:189835 CAPLUS
DOCUMENT NUMBER: 86:189835
ORIGINAL REFERENCE NO.: 86:29773a,29776a
TITLE: Incorporation of 5-substituted uracil derivatives into nucleic acids. III. Synthesis of 5-substituted uracils derived from 5-acetyluracil
AUTHOR(S): Bleackley, R. C.; Jones, A. S.; Walker, R. T.
CORPORATE SOURCE: Dep. Chem., Univ. Birmingham, Birmingham, UK
SOURCE: Tetrahedron (1976), 32(22), 2795-7
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 62785-91-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62785-91-5 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one (CA INDEX NAME)



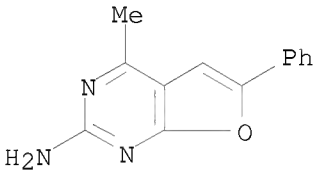
GI



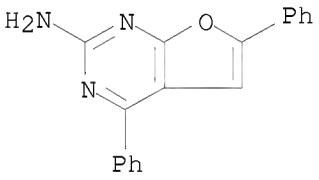
II

AB Bromination of 5-acetyluracil gave 73% 5-(bromoacetyl)uracil (I) which on reduction with NaBH4 gave 5-(2-hydroxyethyl)uracil. I showed low antibacterial activity against Staphylococcus aureus, Streptococcus faecalis, and Escherichia coli in nutrient broth, and appreciable activity (.apprx.6 μg/mL) against E. coli in a medium containing inorg. salts, glucose, and thymine. I was not incorporated into the DNA of E. coli. Bromination of 5-vinyluracil gave 85% E-5-(2-bromovinyl)uracil (II) which with KOCMe3 gave 58% furanopyrimidinone II and on reduction with Na in liquid NH3 gave 5-ethyluracil.

L5 ANSWER 37 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:147904 CAPLUS
DOCUMENT NUMBER: 78:147904
ORIGINAL REFERENCE NO.: 78:23777a,23780a
TITLE: Heterocyclic compounds from lactones, lactams, and thiollactones. XV. Reaction of α-acyl- and α-alkoxyethylidene-Δβ,γ-butenolidess with amidines, guanidines, and hydrazines
AUTHOR(S): Wolfers, Heinrich; Kraatz, Udo; Korte, Friedhelm
CORPORATE SOURCE: Org.-Chem. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1973), 106(3), 874-71
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 78:147904
IT 41279-47-4P 41279-50-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41279-47-4 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4-methyl-6-phenyl- (CA INDEX NAME)

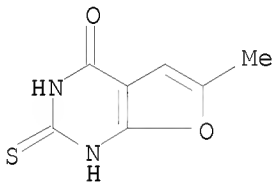


RN 41279-50-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4,6-diphenyl- (CA INDEX NAME)



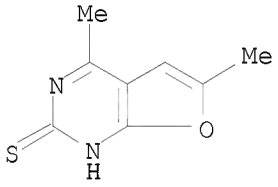
GI For diagram(s), see printed CA Issue.
AB The α-acylbutenolides (I; R = Ph; R1 = Me or Ph; R2 = H) reacted with H2NR3 to give the enolate II [R3 = NHMe, NHPh, C(:NH)NH2, CPh, NH, or CMe:NH], whereas the enol ethers I (R, R1, R2, = Me or Ph)with H2NCR3:NH and H2NNHR gave the pyrimidinones III (R, R1 = Me or Ph; R3 Me, Ph, PhCH2, MeS, H2N, or Me2N) and pyrazolinones IV(R = H or Ph), resp. III(R3 = NH2or MeN) cylized spontaneously or under mild conditions to give the furopyrimidines V. The ir an NMR spectra of the resulting compds. are reported.

L5 ANSWER 38 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:78968 CAPLUS
DOCUMENT NUMBER: 72:78968
ORIGINAL REFERENCE NO.: 72:14381a,14384a
TITLE: 2,3-Disubstituted furans and pyrroles. VIII. New synthetic method for 4-substituted furo[2,3-d]pyrimidines and some thieno[2,3-d]pyrimidines
AUTHOR(S): Marquet, Jean Pierre; Andre-Louisfert, Jeanine; Bisagni, Emile
CORPORATE SOURCE: Inst. Radium, Fac. Sci., Orsay, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1969), (12), 4344-8
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
IT 25716-56-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 25716-56-7 CAPLUS
CN Furo[2,3-d]pyrimidin-4(1H)-one, 2,3-dihydro-6-methyl-2-thioxo- (CA INDEX NAME)

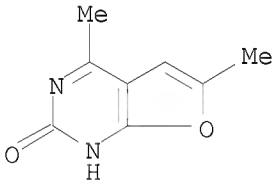


GI For diagram(s), see printed CA Issue.
AB I was treated with RC(:NH)NH2 to give II (R = Ph, SH, orNH2) which were cyclized with 98% H2SO4 and treated with POCl3 to give III (X = O, R1 = Cl), which with NH3, N2H4, amines, thiourea, or NaOMe gave III (X = O; R = H, Me, or Ph; R1 = NH2, NHNH2, NHCH2Ph, NHCH2CH2OH, SH, or MeO). III (X = S, R = Me, SMe, or H; R1 = Me, NMe2, or SH) were similarly prepared

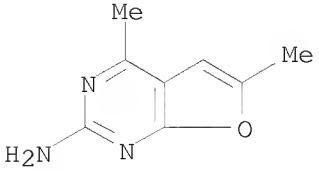
L5 ANSWER 39 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:403352 CAPLUS
DOCUMENT NUMBER: 71:3352
ORIGINAL REFERENCE NO.: 71:625a,628a
TITLE: 2,3-Disubstituted furans and pyrroles. VI. Synthesis of some new pyrimidines and their transformation into furo- and pyrrolo[2,3-d]pyrimidines
AUTHOR(S): Bisagni, Emile; Marquet, Jean P.; Andre-Louisfert, Jeannine
CORPORATE SOURCE: Lab. Synt. Org., Fac. Sci., Orsay, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1969), (3), 803-11
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 71:3352
IT 22727-33-9P 22727-41-9P 22727-43-1P
22727-45-3P 23091-34-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22727-33-9 CAPLUS
CN Furo[2,3-d]pyrimidine-2(1H)-thione, 4,6-dimethyl- (CA INDEX NAME)



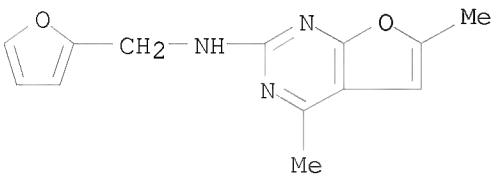
RN 22727-41-9 CAPLUS
CN Furo[2,3-d]pyrimidin-2(1H)-one, 4,6-dimethyl- (CA INDEX NAME)



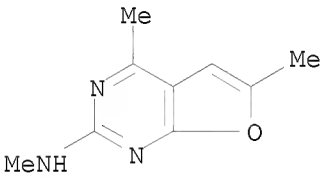
RN 22727-43-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, 4,6-dimethyl- (CA INDEX NAME)



RN 22727-45-3 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, N-(2-furanylmethyl)-4,6-dimethyl- (CA INDEX NAME)

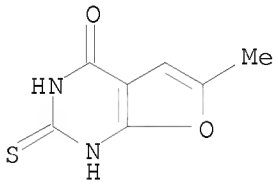


RN 23091-34-1 CAPLUS
CN Furo[2,3-d]pyrimidin-2-amine, N,4,6-trimethyl- (CA INDEX NAME)

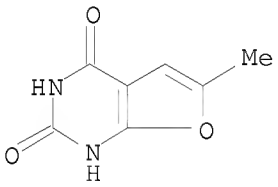


GI For diagram(s), see printed CA Issue.
AB 2-(R-Substituted)-4-oxo-5-acetonyl-6-methyl-3,4-dihydropyrimidines (I) are prepared from MeCO(MeCOCH2)CHCO2Et and RC(:NH)NH2 compds., where R is Me, NH2, SH, or an alkylthio group. I are treated with H2SO4 to give substituted 4,6-dimethylfuro[2,3-d]pyrimidines (II). 2-(R-Substituted)-7-(R1-substituted)-4,6-dimethylpyrrolo[2,3-d]pyrimidines are prepared from 4-chloro-5-acetonyl-6-methylpyrimidines and amines R1NH2.

L5 ANSWER 40 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:435607 CAPLUS
DOCUMENT NUMBER: 59:35607
ORIGINAL REFERENCE NO.: 59:6398g-h,6399a-d
TITLE: Furans and pyrans. V. Synthesis of furanopyrimidines
AUTHOR(S): Schulte, K. E.; Reisch, J.; Mock, A.; Kauder, K. H.
CORPORATE SOURCE: Westfaelischen Wilhelms-Univ., Muenster, Germany
SOURCE: Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft (1963), 296, 235-43
CODEN: APBDAJ; ISSN: 0376-0367
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 59:35607
IT 25716-56-7P, Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-2-thio- 91673-53-9P, Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-
RL: PREP (Preparation)
(preparation of)
RN 25716-56-7 CAPLUS
CN Furo[2,3-d]pyrimidin-4(1H)-one, 2,3-dihydro-6-methyl-2-thioxo- (CA INDEX NAME)



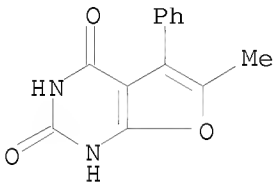
RN 91673-53-9 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl- (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB cf. CA 59, 1575h, 2815f. Pyrimidines containing the RC.tplbond.CCH2 group ortho to an enolizable CO group gave furanopyrimidines by intramol. ring closure. Equimol. amts. of RC.tplbond.CCH2CHAcCO2Et (I) and R'C(:NH)NH2.HCl (II) kept several days with frequent shaking with 0.02-0.05 mole NaOH in 20-35 ml. EtOH, refluxed 1 hr., cooled, the precipitate filtered off, washed with Et2O, and crystallized gave the following IIa [R, R1, m.p., % yield, and m.p. 5-propyl analog (by hydrogenation over Pd-CaCO3 MeOH) given]: H, Mc (III), 223-4°, 89, 157 9°; H, Ph (IV), 218-20°, 93, 147-8°; Ph, Me (V), 256-7°, 84, 157-9°; Ph, Ph (VI), 204-5°, 80, 165-6°. III (0.2 g.) rubbed with 0.05 g. ZnCO3, heated 15 min. on a metal bath at

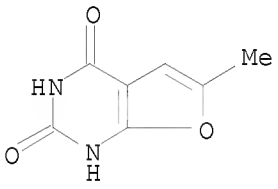
230°, and the mixture cooled, extracted with Et2O, heated 15 min. on a metal bath at 230°, and the mixture cooled, extracted with Et2O, evaporated, and sublimed at 100° under water pump vacuum yielded 63.5% VII (R = Me), m. 85°. Similarly, IV yielded 74% VII (R = Ph), m. 98-9°. V and VI with ZnCO3 did not give the expected corresponding pyrano derivs., but were recovered unchanged. With H2SO4, H3PO4, or HBr-AcOH, V and VI added H2O yielding, resp., 65% 2,4-dimethytl-5-(2-benzoylethyl-6-pyrimidone, m. 181-2° (EtOH-H2O), and 70% 2-Ph analog, m. 228-30°. HC.tplbond.CCH2CH(CO2Et)2 (37 g.) and 15 g. urea stirred and heated on a water bath 2-3 hrs. with NaOEt (from 9.2 g. Na in 150 ml. absolute EtOH), the crystals filtered off, washed with Et2O, dissolved in H2O, HCl added to pH 4, and the mixture extracted with Et2O yielded 66% 5-propargylbarbituric acid (VIII), m. 184° (H2O). Similarly, 15 g. PhC.tplbond.CCH2CH(CO2Et)2 yielded 58% 5-(3-phenylpropargyl)barbituric acid (IX), m. 214-15° (MeOH-H2O). VIII and IX dissolved in concentrated H2SO4 or H3PO4 and the solution diluted with ice water yielded, resp., 49% X (X = O, R = H), and 80% XI, m. 187°. Na (4.6 g.), 50 ml. absolute EtOH, 9.0 g. Me-NHCONH2, and 19.8 g. HC.tplbond.CH2CH(CO2Et)2 heated 5 hrs. at 110° in a closed tube yielded directly 17% X (X = O, R = Me), m. 260° (H2O). Under the same conditions, 9.0 g. (NH2)2CS yielded 12% X (X = S, R = H), m. 240° (decomposition). Na (13.8 g.), 300 ml. absolute EtOH, 65 g. HC.tplbond.CCH2CEt(CO2Et)2 and 18 g. urea treated as for VIII yielded 53.8% 5-ethyl-5-propargylbarbituric acid, m. 203° (H2O), which with concentrated H2SO4 yielded 55% of the known 5-ethyl-5-acetonylbarbituric acid, m. 239°. Thus, closure to a furan ring cannot take place without an enolizable CO group next the 5-RC.tplbond.CCH2 group.

L5 ANSWER 41 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:415609 CAPLUS
DOCUMENT NUMBER: 59:15609
ORIGINAL REFERENCE NO.: 59:2815f-h
TITLE: Furans and pyrans. IV. Preparation of condensed furan derivatives
AUTHOR(S): Reisch, J.
CORPORATE SOURCE: Univ. Muenster, Germany
SOURCE: Angewandte Chemie (1962), 74(20), 783
CODEN: ANCEAD; ISSN: 0044-8249
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
IT 95979-96-7P, Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-5-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 95979-96-7 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-5-phenyl- (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB cf. CA 58, 11337d. Furan derivs. were prepared from Ph(HC.tplbond.C)CHOH and cyclic β-dicarbonyl compds. in the presence of concentrated H2SO4 or BF3-Et2O in glacial AcOH, 30 min. at 100°. Thus prepared were: 75% I, m. 268° (decomposition), from barbituric acid; 85% II, m. 147-8°, from 1,3-indandione; 67% III, m. 199°, from 4-hydroxycoumarin; 60% IV, m. 264°, from 4-hydroxycarbostyril.

L5 ANSWER 42 OF 42 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:103479 CAPLUS
DOCUMENT NUMBER: 54:103479
ORIGINAL REFERENCE NO.: 54:19699g-i,19700a-f
TITLE: Reactions of some heterocyclic vic-dicarboxamides with alkaline hypobromite
AUTHOR(S): Jones, Reuben G.
CORPORATE SOURCE: Lilly Research Labs., Indianapolis, IN
SOURCE: Journal of Organic Chemistry (1960), 25, 956-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 54:103479
IT 91673-53-9P, Furo[2,3-d]pyrimidine-2,4-diol, 6-methyl-
RL: PREP (Preparation)
(preparation of)
RN 91673-53-9 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl- (CA INDEX NAME)



AB Reaction of alkaline hypobromite with some heterocyclic 1,2-dicarboxamides led to the preparation of several bicyclic compds. containing the pyrimidine ring fused to furan, pyridazine, and pyrimidine. Et 2-ethoxalyl-4-oxovalerate (I) (24.5 g.) in 500 ml. 95% alc. treated cold with 5 g. $N_2H_4.H_2O$ in 50 ml. alc., the solution left 1 hr. at room temperature, evaporated, the solution diluted with 300 ml. H_2O, extracted with Et_2O, dried, and evaporated gave 20 g. di-Et 6-methyl-4,5-dihydro-3,4-pyridazinedicarboxylate, m. 86-7° (ligroine). I (345 g.) in 3 l. alc. treated during 1.5 hrs. with 70 g. $N_2H_4.H_2O$, the solution left overnight, evaporated in vacuo to a sirup, and warmed 0.5 hr. on the steam bath to remove alc. gave crude di-Et 6-methyl-4,5-dihydro-3,4-pyridazinedicarboxylate (II). II (315 g.) in 2.75 l. Me_2O added during 1 hr. to a hot solution of 65 g. $KMnO_4$ in 900 ml. H_2O, cooled, saturated with CO_2, the mixture filtered, the MnO_2 cake washed with Me_2CO, the filtrate evaporated, and the residue extracted with Et_2O gave 35 g. forerun, b0.6 112-15°, shown to be di-Et 5-methyl-2,3-furandicarboxylate, and 128 g. di-Et 6-methyl-3,4-pyridazinedicarboxylate (III), m. 53-3.5° (ligroine). III (12 g.) hydrolyzed by warming with 5 g. NaOH in 50 ml. H_2O and the solution acidified gave 8.76 g. 6-methyl-3,4-pyridazinedicarboxylic acid, m. 235-7° (decomposition). III (47.6 g.) left 3 days at room temperature with 400 ml. MeOH saturated with NH_3 gave 35 g. 6-methyl-3,4-pyridazinedicarboxamide, m. 245-6° (aqueous alc.). Di-Et 2,6-dimethyl-3,4-pyridinedicarboxylate (70 g.) in 500 ml. MeOH saturated with NH_3 left 3 days and the mixture evaporated gave 42 g.

2,6-dimethyl-3,4-pyridinedicarboxamide (IIIa), m. 213-14°. Di-Et 2-hydroxy-4,5-pyrimidinedicarboxylate (48 g.) added to 300 ml. concentrated aqueous NH3, the mixture left 2 days, and the product collected gave 32 g. ammonium salt of 2-hydroxy-4,5-pyrimidinedicarboxamide (IV), decomposed above 300°. IV (30 g.) ground to a fine powder and suspended in 100 ml. 20% AcOH, the suspension heated 2 hrs., and cooled gave 24.3 g. 2-hydroxy-4,5-pyrimidinedicarboxamide, decomposed above 300°. Di-Et 2-methyl-4,5-furandicarboxylate (45.2 g.) in 150 ml. MeOH containing 40 g. NH3 kept 3 days in a stoppered flask gave 30 g. 2-methyl-4,5-furandicarboxamide (IVa), m. 257-8° (aqueous alc.). Di-Et 3,4-furandicarboxylate (63.6 g.) in 500 ml. MeOH saturated with NH3, left 4 days at room temperature, the mixture treated with an addnl. 50 ml. liquid NH3, and left 4 more days gave 45 g. 3,4-furandicarboxamide (V). Di-Me 3,4-thiophenedicarboxylate (20 g.) in 250 ml. MeOH saturated with NH3 left 5 days gave 16.7 g. 3,4-thiophenedicarboxamide, m. 237-9° (H2O). V (15.4 g.) stirred with a hypobromite solution, prepared from 61.6 g. KOH in 160 ml. H2O, 400 g. ice, and 32 g. Br, the mixture left 2 days at room temperature, heated 1 hr. on the steam bath, acidified with 70 ml. AcOH, left 5 days at room temperature, dissolved in hot NH4OH solution, and repptd. with AcOH gave 1.4 g. solid 4,6-dihydroxy-2-oxa-5,7-diazaindene. Finely powdered IVa allowed to react with KOBr as described above gave 25% 4,6-dihydroxy-2-methyl-1-oxa-5,7-diazaindene or 5,7-dihydroxy-2-methyl-1-oxa-4,6-diazaindene. IIIa allowed to react as above with hypobromite solution, refrigerated overnight, heated 1 hr., and acidified gave 75% 1,3-dihydroxy-5,7-dimethyl-2,4,6-triazanaphthalene, m. 355-7° (AcOH). 3-Methyl-5,6-pyridazinedicarboxamide (0.1 mole) added at once to a hypobromite solution, the mixture refrigerated overnight, heated 1 hr. on the steam bath, acidified, the mixture refrigerated a 2nd night, and the solid collected gave 79% 1,3-dihydroxy-7-methyl-2,4,5,6-tetraazanaphthalene (VI). In another experiment the mixture neither cooled nor heated prior to acidification, but left 12 hrs. at room temperature gave 22% VI. 2-Hydroxy-4,5-dicarbamoylpyrimidine allowed to react with hypobromite gave 74% 1,3,6-trihydroxy-2,4,5,7-tetraazanaphthalene, not m. below 360° (hot dilute NH4OH and repptd. with AcOH).